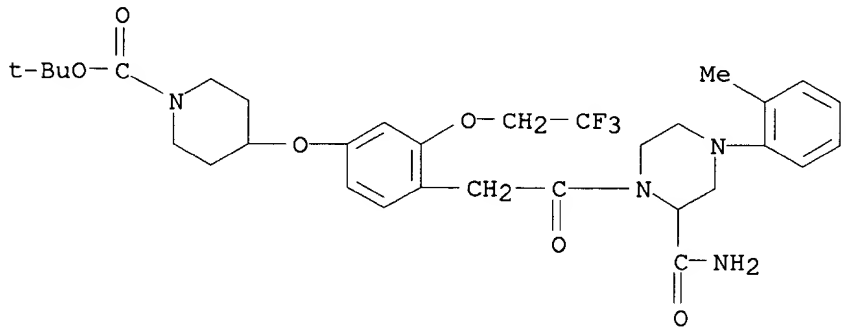
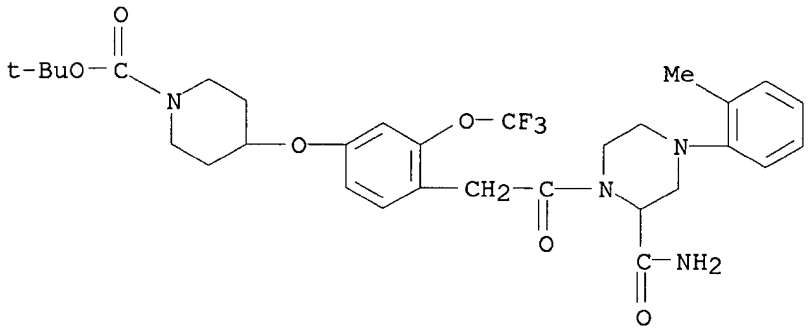
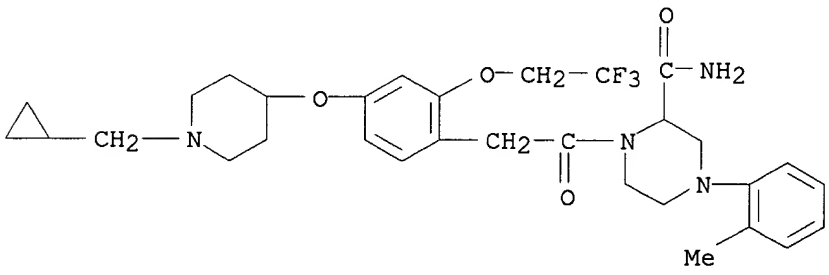


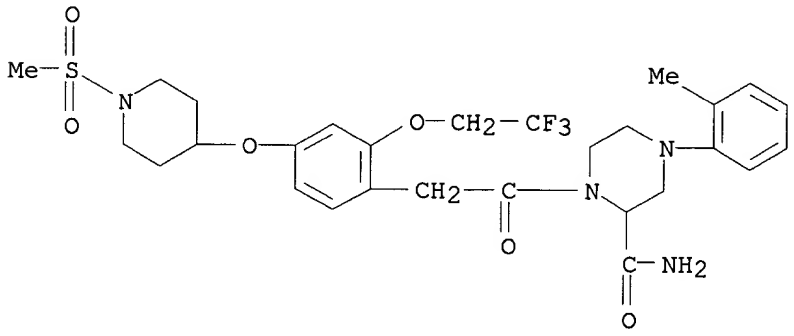
RN 220996-89-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[2-[2-(aminocarbonyl)-4-(2-methylphenyl)-1-piperazinyl]-2-oxoethyl]-3-(trifluoromethoxy)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



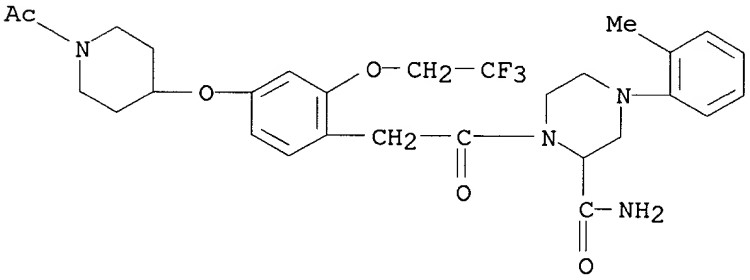
IT **220996-02-1P 220996-03-2P 220996-04-3P**
220996-05-4P 220996-33-8P 220996-34-9P
220996-91-8P 220996-92-9P 220996-93-0P
220996-94-1P 220997-03-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl(phenylacetyl)piperazines as oxytocin receptor antagonists)
RN 220996-02-1 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(cyclopropylmethyl)-4-piperidinyl]oxy]-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



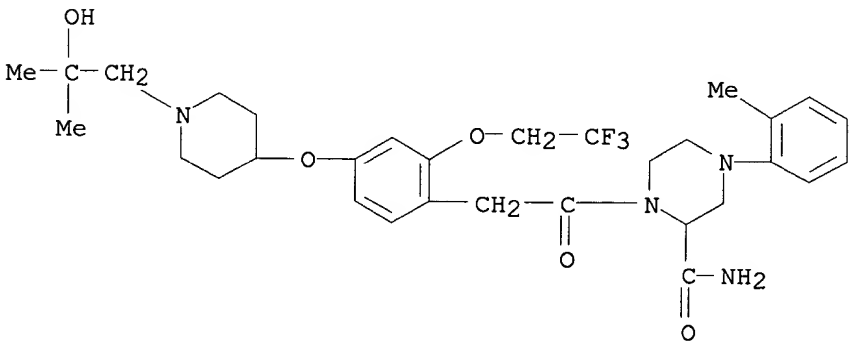
RN 220996-03-2 CAPLUS
CN 2-Piperazinecarboxamide, 4-(2-methylphenyl)-1-[[4-[[1-(methylsulfonyl)-4-piperidinyl]oxy]-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)



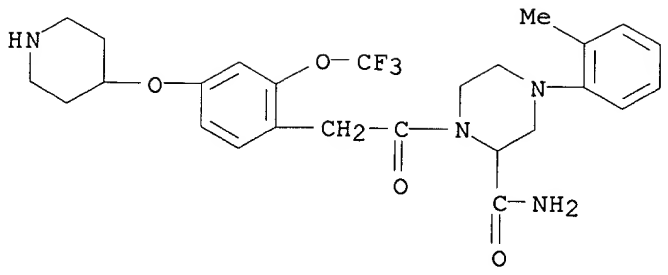
RN 220996-04-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[(1-acetyl-4-piperidinyl)oxy]-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



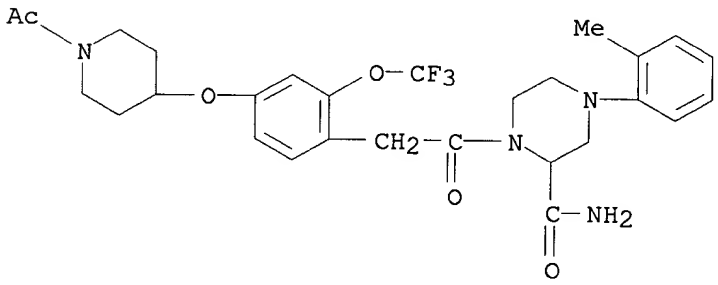
RN 220996-05-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(2-hydroxy-2-methylpropyl)-4-piperidinyl]oxy]-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



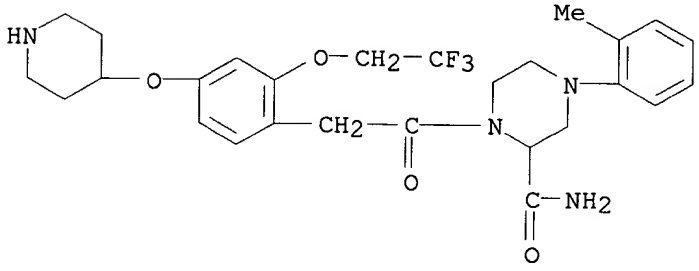
RN 220996-33-8 CAPLUS
CN 2-Piperazinecarboxamide, 4-(2-methylphenyl)-1-[[4-(4-piperidinyloxy)-2-(trifluoromethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)



RN 220996-34-9 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[(1-acetyl-4-piperidinyl)oxy]-2-(trifluoromethoxy)phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

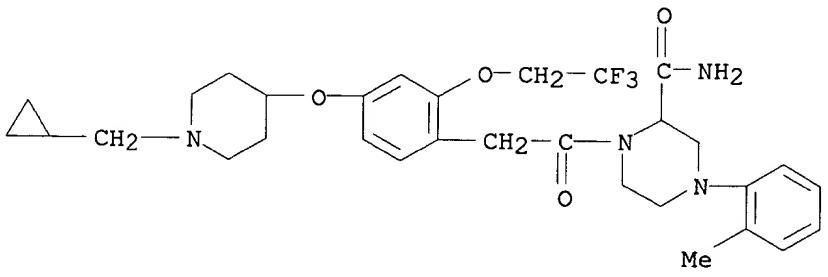


RN 220996-91-8 CAPLUS
CN 2-Piperazinecarboxamide, 4-(2-methylphenyl)-1-[[4-(4-piperidinyloxy)-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]-, hydrochloride (2:3) (9CI) (CA INDEX NAME)



●3/2 HCl

RN 220996-92-9 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(cyclopropylmethyl)-4-piperidinyl]oxy]-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]-4-(2-methylphenyl)-, hydrochloride (20:43) (9CI) (CA INDEX NAME)

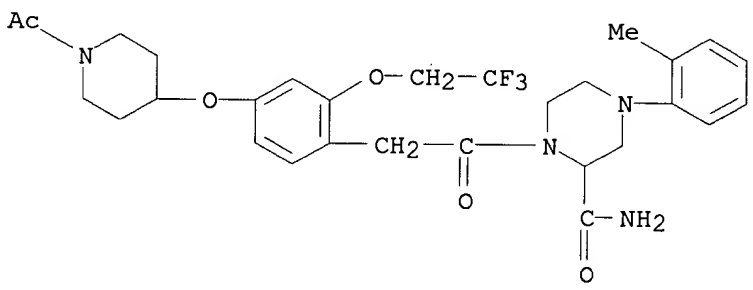


●43/20 HCl

RN 220996-93-0 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[(1-acetyl-4-piperidinyl)oxy]-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]-4-(2-methylphenyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

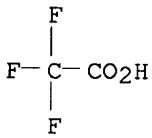
CM 1

CRN 220996-04-3
CMF C29 H35 F3 N4 O5



CM 2

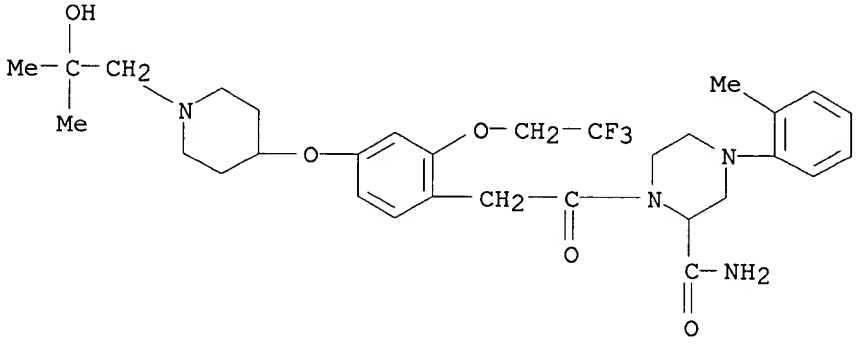
CRN 76-05-1
CMF C2 H F3 O2



RN 220996-94-1 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(2-hydroxy-2-methylpropyl)-4-piperidinyl]oxy]-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]-4-(2-methylphenyl)-, trifluoroacetate (5:9) (salt) (9CI) (CA INDEX NAME)

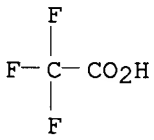
CM 1

CRN 220996-05-4
CMF C31 H41 F3 N4 O5

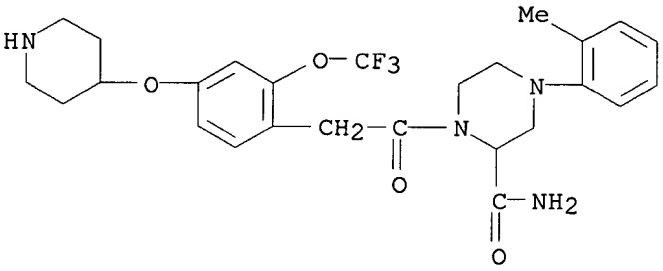


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 220997-03-5 CAPLUS
CN 2-Piperazinecarboxamide, 4-(2-methylphenyl)-1-[[4-(4-piperidinyloxy)-2-(trifluoromethoxy)phenyl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:193839 CAPLUS
DOCUMENT NUMBER: 130:252377
TITLE: Preparation of di-N-substituted piperazines or 1,4 disubstituted piperidines as muscarinic antagonists
INVENTOR(S): Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger,

09922619

Joel G.; Mcquade, Robert; Barnett, Allen; Sherlock, Margaret; Tom, Wing; Dugar, Sundeep; Chen, Lian-Yong; Clader, John W.; Chackalamannil, Samuel; Yuguang, Wang; Mccombie, Stuart W.; Tagat, Jayaram R.; Vice, Susan F.; Vaccaro, Wayne; Green, Michael J.; Browne, Margaret E.; Asberom, Theodros

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 457,712, abandoned.
CODEN: USXXAM

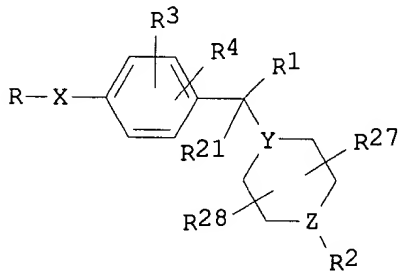
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5883096	A	19990316	US 1996-602403	19960216
CA 2212895	AA	19960829	CA 1996-2212895	19960216
ZA 9601293	A	19960819	ZA 1996-1293	19960219
US 5889006	A	19990330	US 1996-700628	19960808
US 6037352	A	20000314	US 1998-195742	19981119
US 6043255	A	20000328	US 1999-266079	19990310
US 6288068	B1	20010911	US 2000-482168	20000112

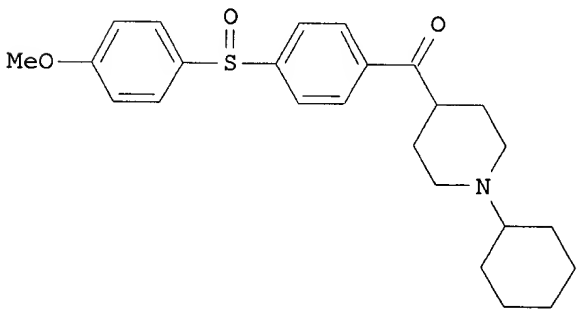
PRIORITY APPLN. INFO.:
US 1995-392697 B2 19950223
US 1995-457712 B2 19950602
US 1996-602403 A2 19960216
US 1996-700628 A3 19960808
US 1998-195742 A3 19981119

OTHER SOURCE(S): MARPAT 130:252377

GI



I



II

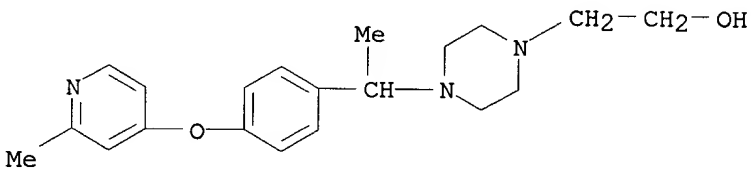
AB Di-N-substituted piperazines or 1,4-di-substituted piperidines I [one of Y and Z is N and the other is N, CH, or C-alkyl; X = O, SO0-2, amino, substituted amino, CO, CH2, mono or disubstituted methylene, CS, CONR20, NR20SO2, NR20CO, SO2NR20, CH:CH, C.tplbond.C, NHC(O)NH; R = optionally substituted Ph, aryl, cycloalkyl; R1, R21 = H, CN or optionally substituted alkyl; R2 = optionally substituted cycloalkyl or piperidyl; R3, R4, R5, R20, R27, R28 are as defined in the specification], muscarinic antagonists, were prepd. E.g., II was prepd.

IT **182133-94-4P 182133-95-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of di-N-substituted piperazines or 1,4 disubstituted piperidines as muscarinic antagonists)

RN 182133-94-4 CAPLUS
CN 1-Piperazineethanol, 4-[1-[4-[(2-methyl-4-pyridinyl)oxy]phenyl]ethyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

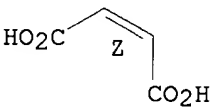
CRN 182133-93-3
CMF C20 H27 N3 O2



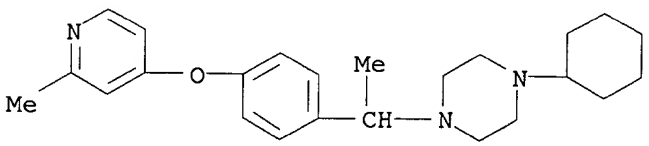
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



RN 182133-95-5 CAPLUS
CN Piperazine, 1-cyclohexyl-4-[1-[4-[(2-methyl-4-pyridinyl)oxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS

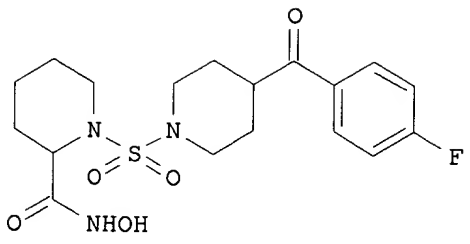
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:498326 CAPLUS
DOCUMENT NUMBER: 129:148991
TITLE: Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors
INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.
SOURCE: Ger. Offen., 84 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19802350	A1	19980730	DE 1998-19802350	19980122
WO 9832748	A1	19980730	WO 1998-EP180	19980114
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9866140	A1	19980818	AU 1998-66140	19980114
AU 730127	B2	20010222		
EP 958287	A1	19991124	EP 1998-907943	19980114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807508	A	20000321	BR 1998-7508	19980114
JP 2001523222	T2	20011120	JP 1998-531537	19980114
ZA 9800376	A	19980723	ZA 1998-376	19980116
IT 1298163	B1	19991220	IT 1998-MI91	19980120
FR 2758559	A1	19980724	FR 1998-601	19980121
US 5998412	A	19991207	US 1998-9951	19980121
GB 2321641	A1	19980805	GB 1998-1393	19980122
GB 2321641	B2	20010401		
ES 2136037	A1	19991101	ES 1998-113	19980122
ES 2136037	B1	20001116		
NO 9903587	A	19990922	NO 1999-3587	19990722
US 6130220	A	20001010	US 1999-369677	19990805
US 6143744	A	20001107	US 1999-369501	19990805

PRIORITY APPLN. INFO.:
US 1997-36714P P 19970123
US 1997-62209P P 19971016
WO 1998-EP180 W 19980114
US 1998-9951 A3 19980121

OTHER SOURCE(S): MARPAT 129:148991
GI



II

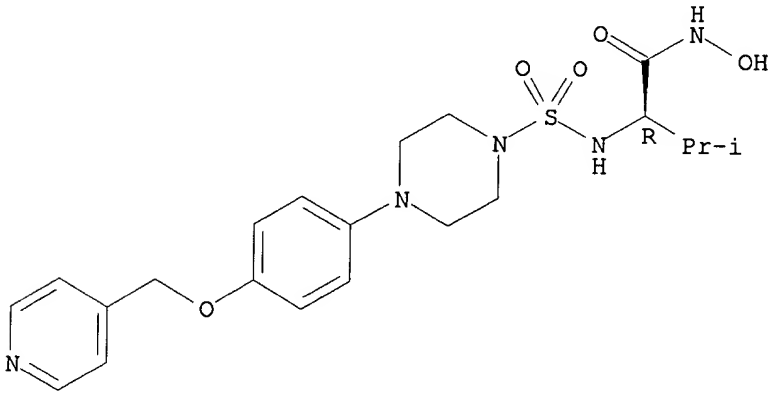
AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11,R12 = H or (ar)alkyl; R20,R21 = H, alkyl, (hetero)aryl[alk(en)yl], etc.; NR20R21heterocyclyl] were prepd. Thus, (R)-1-[4-(4-chlorobenzoyl)piperidine-1-sulfonyl]piperidine-2-carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compd. (R)-II. Data for biol. activity of I were given.

IT **210913-84-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)

RN 210913-84-1 CAPLUS

CN Butanamide, N-hydroxy-3-methyl-2-[[[4-[4-(4-pyridinylmethoxy)phenyl]-1-piperazinyl]sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

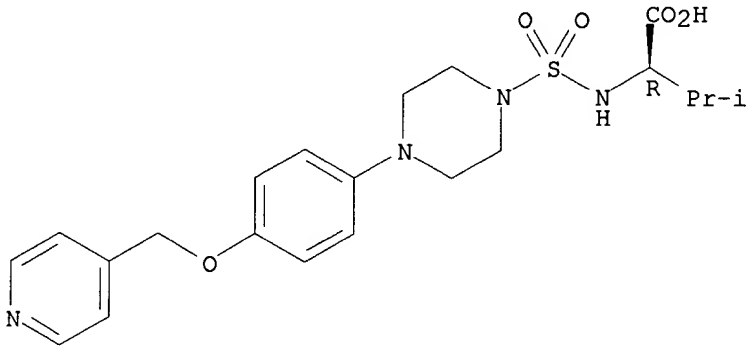


IT **210916-93-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)

RN 210916-93-1 CAPLUS

CN D-Valine, N-[[4-[4-(4-pyridinylmethoxy)phenyl]-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

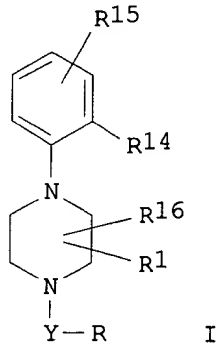


L4 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:352630 CAPLUS
DOCUMENT NUMBER: 129:27960
TITLE: Preparation of piperazine derivatives as tocolytic oxytocin receptor antagonists
INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Culberson, J. Christopher; Gilbert, Kevin F.; Rittle, Kenneth E.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 37 pp. Cont.-in-part of U.S. 5,464,788. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756504	A	19980526	US 1996-718415	19960923
US 5464788	A	19951107	US 1994-217270	19940324
WO 9525443	A1	19950928	WO 1995-US3738	19950323

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1994-217270 19940324
WO 1995-US3738 19950323
OTHER SOURCE(S): MARPAT 129:27960
GI



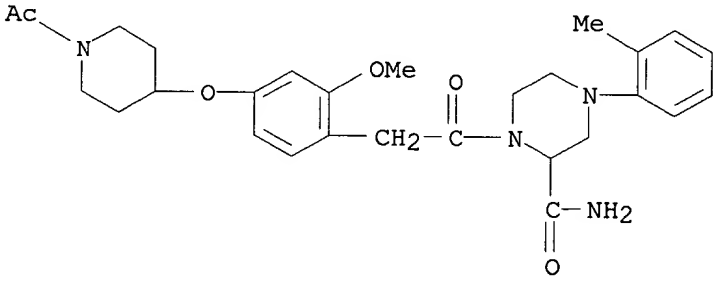
I

AB The title compds. I [Y = SO2, (CH2)p,CO(CH2)p, etc.; p = 1-3; R = (un)substituted Ph, etc.; R1 = H, cyano, Ph, CONHR2, CONR2R2, etc.; R2 = H, C3-8 cycloalkyl or C1-5 alkyl; R14, R15 = C1-5 alkyl or alkoxy, halo; R16 = H or oxo] were prepd. I are useful as oxytocin and vasopressin receptor antagonists. Thus, spiro[1H]indene-1,4'-piperidine.HCl was treated with 2,4-dimethoxy-phenylacetic acid in the presence of EDC, HBT and Et3N to give 1'-(2,4-dimethoxyphenylacetyl)-spiro[1H]indene-1,4'-piperidine, which showed IC50 of 400 nM for [3H]oxytocin.

IT **170929-69-8P 170929-71-2P 170929-72-3P**
170929-73-4P 170929-74-5P 170929-75-6P
207978-22-1P 207981-18-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazine derivs. as tocolytic oxytocin receptor antagonists)

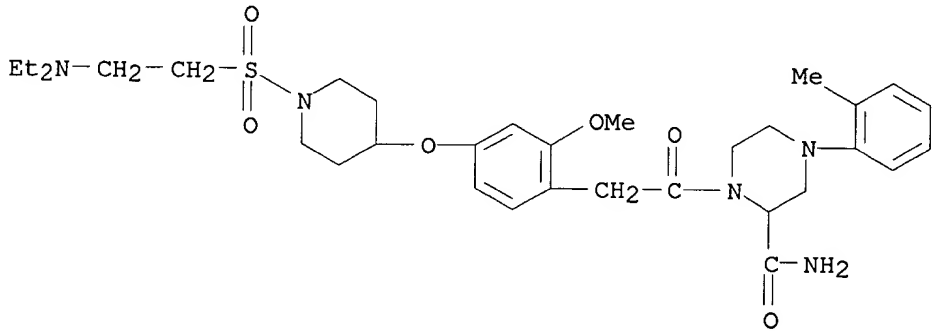
RN 170929-69-8 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[4-[(1-acetyl-4-piperidinyl)oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

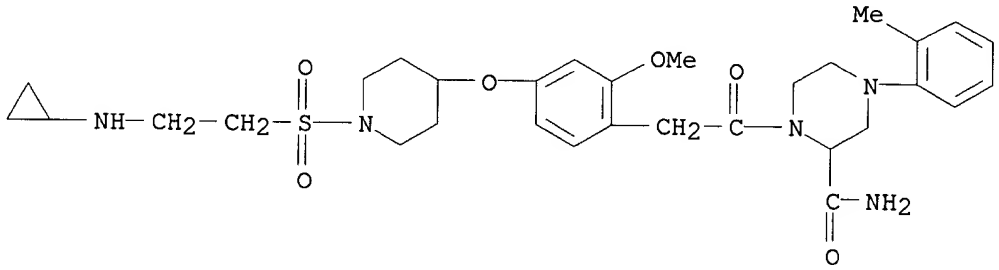


RN 170929-71-2 CAPLUS

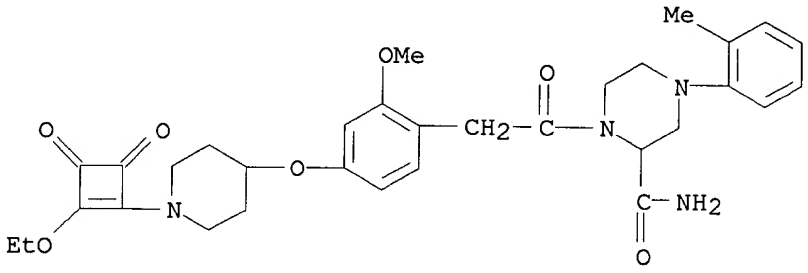
CN 2-Piperazinecarboxamide, 1-[[4-[[1-[[2-(diethylamino)ethyl]sulfonyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



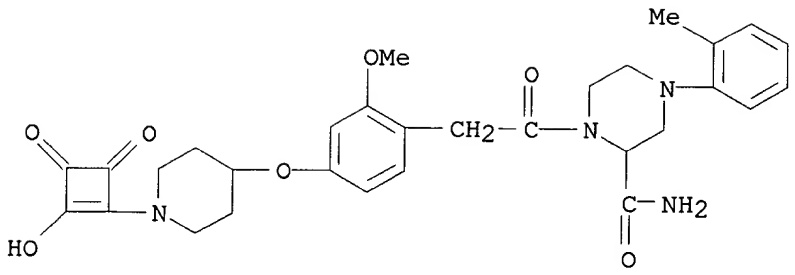
RN 170929-72-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-[[2-(cyclopropylamino)ethyl]sulfonyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



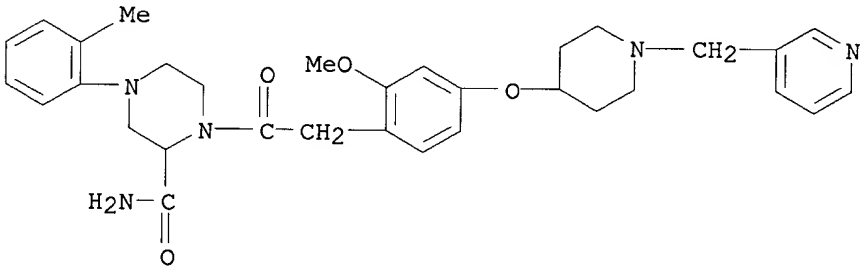
RN 170929-73-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(2-ethoxy-3,4-dioxo-1-cyclobuten-1-yl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



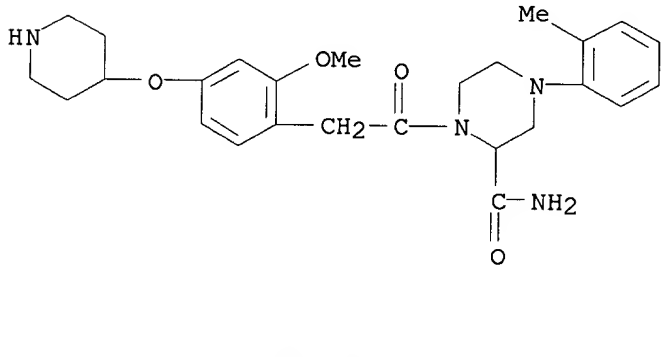
RN 170929-74-5 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(2-hydroxy-3,4-dioxo-1-cyclobuten-1-yl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 170929-75-6 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-(3-pyridinylmethyl)-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

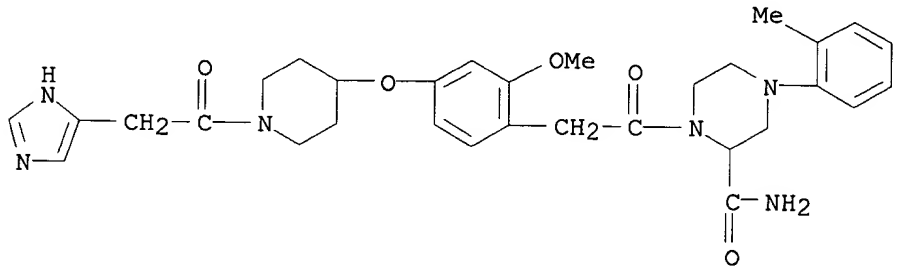


RN 207978-22-1 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-(4-piperidinyloxy)phenyl]acetyl]-4-(2-methylphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

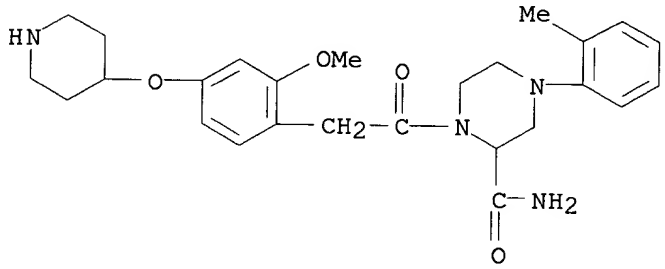


●2 HCl

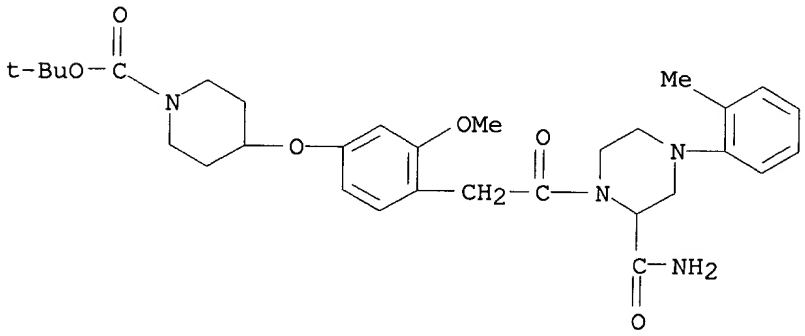
RN 207981-18-8 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(1H-imidazol-4-ylacetyl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



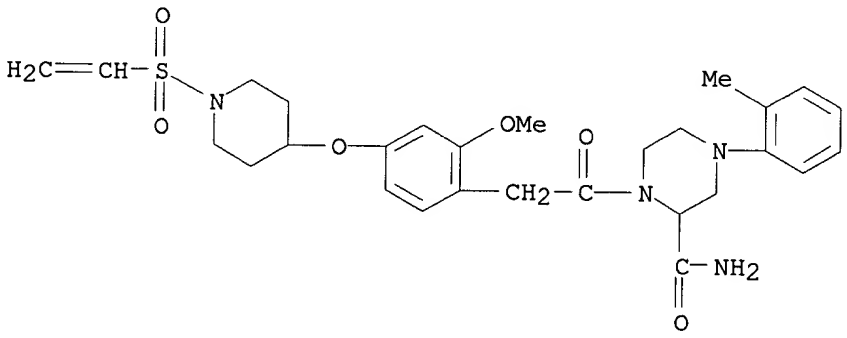
IT **207985-53-3**
RL: RCT (Reactant)
(prepn. of piperazine derivs. as tocolytic oxytocin receptor antagonists)
RN 207985-53-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-(4-piperidinyloxy)phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



IT **170930-05-9P 170930-06-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of piperazine derivs. as tocolytic oxytocin receptor antagonists)
RN 170930-05-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[2-[2-(aminocarbonyl)-4-(2-methylphenyl)-1-piperazinyl]-2-oxoethyl]-3-methoxyphenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 170930-06-0 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(ethenylsulfonyl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:324824 CAPLUS
DOCUMENT NUMBER: 129:27961
TITLE: Preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa
INVENTOR(S): Mills, Stuart Dennett
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: U.S., 68 pp. Cont.-in-part of U.S. 5,563,141.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753659	A	19980519	US 1995-458180	19950602
US 5563141	A	19961008	US 1994-218174	19940328
US 5750754	A	19980512	US 1996-658097	19960604

PRIORITY APPLN. INFO.:

GB 1993-6451	A	19930329
GB 1993-25610	A	19931215
US 1994-218174	A2	19940328
GB 1993-6453	A	19930329
GB 1993-25605	A	19931215
GB 1995-18188	A	19950907

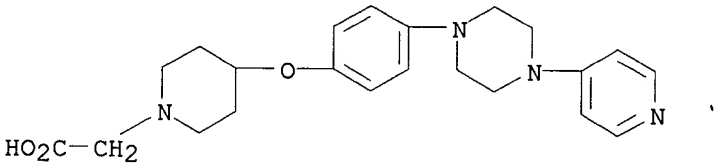
AB The title compds. [(M1)n-Q-(M2)1-n-L-A; n = 0-1; M1 = NH2; Q = an arom. heterocyclic group contg. N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the inhibition of platelet aggregation, and for the treatment of unstable angina. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-{2-[4-(4-pyridyl)piperazin-1-yl]acetyl}phenoxyacetate which showed pIC50 of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.

IT **207916-45-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

RN 207916-45-8 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

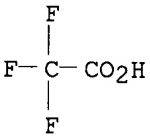
CM 1

CRN 166952-65-4
CMF C22 H28 N4 O3

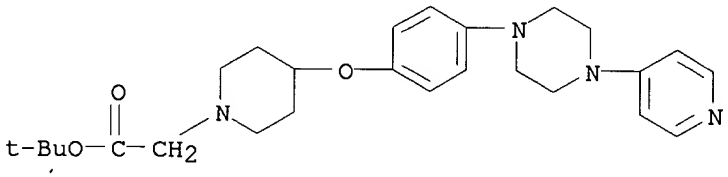


CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **166954-70-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)
RN 166954-70-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:754425 CAPLUS
DOCUMENT NUMBER: 126:89266
TITLE: Preparation and formulation of aminophenoxypiperidines and analogs as nerve cell protectants
INVENTOR(S): Goto, Giichi; Yukimasa, Hidefumi; Miyamoto, Masaomi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: U.S., 28 pp. Cont. of U.S. Ser. No. 847,440,

09922619

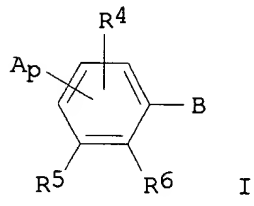
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5580883	A	19961203	US 1994-266614	19940628
JP 04211647	A2	19920803	JP 1991-50753	19910221

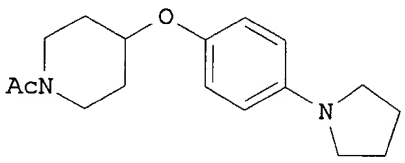
PRIORITY APPLN. INFO.:

JP 1990-77178	19900326
JP 1990-169098	19900627
JP 1991-50753	19910221
US 1991-674158	19910325
US 1992-847440	19920310
JP 1990-169089	19900627

OTHER SOURCE(S): MARPAT 126:89266
GI



I

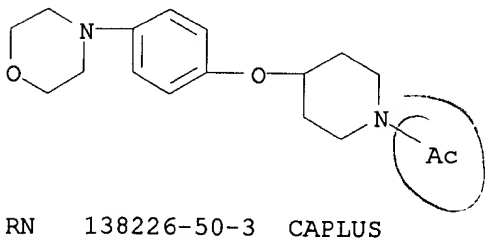


II

AB Title compds. [I; A,B = NR1R2, Z(CH2)nR7; R1,R2 = H, (un)substituted hydrocarbyl, -heterocyclyl; NR1R2 = heterocyclyl; R4-R6 = H, alkyl, alkoxy; R5R6 = CH:CHCH:CH; R7 = heterocyclyl group Q; R3 = H, acyl, (un)substituted hydrocarbyl; Z = O or S; m = 1-3; n = 0-4; p = 1 or 2] were prepd. Thus, 1-acetyl-4-hydroxypiperidine was etherified by 4-FC6H4NO2and the reduced product N,N-bisalkylated with Br(CH2)4Br to give title compd. II. Data for in vitro activity against glutamic acid-induced necrocytosis by I were given.

IT **138226-45-6P 138226-50-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of aminophenoxypiperidines and analogs as nerve cell protectants)

RN 138226-45-6 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(4-morpholinyl)phenoxy]- (9CI) (CA INDEX NAME)

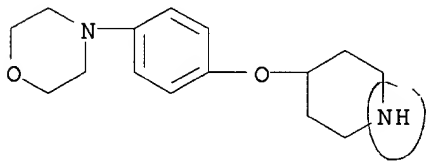


RN 138226-50-3 CAPLUS
CN Morpholine, 4-[4-(4-piperidinyloxy)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

09922619

CM 1

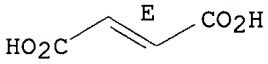
CRN 138226-49-0
CMF C15 H22 N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

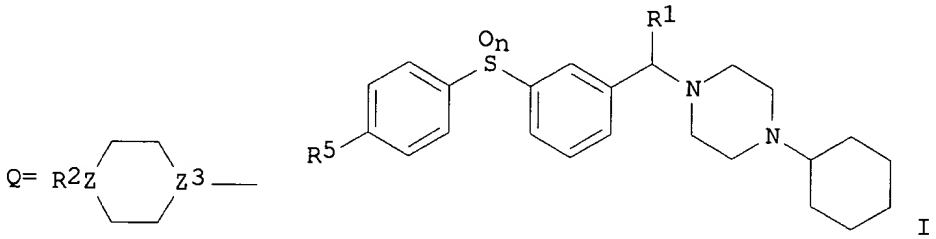
Double bond geometry as shown.



L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:623177 CAPLUS
DOCUMENT NUMBER: 125:275910
TITLE: Preparation of benzylpiperidines and -piperazines as muscarinic antagonists
INVENTOR(S): Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger, Joel G.; Mcquade, Robert; Barnett, Allen; Scherlock, Margaret; Tom, Wing; Dugar, Sundeep; et al.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626196	A2	19960829	WO 1996-US1532	19960216
WO 9626196	A3	19961003		
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2212895	AA	19960829	CA 1996-2212895	19960216
AU 9649717	A1	19960911	AU 1996-49717	19960216
AU 701452	B2	19990128		
EP 811002	A2	19971210	EP 1996-906286	19960216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				

LT, LV
JP 11501014 T2 19990126 JP 1996-525703 19960216
ZA 9601293 A 19960819 ZA 1996-1293 19960219
FI 9703446 A 19971022 FI 1997-3446 19970822
PRIORITY APPLN. INFO.: US 1995-392697 A 19950223
US 1995-457712 A 19950602
WO 1996-US1532 W 19960216
OTHER SOURCE(S): MARPAT 125:275910
GI



I

AB RZ1Z2CR1R3R4 [R = H, alkyl, acyl, CH2Ph, heterocyclyl, etc.; R1,R3 = alk(en)yl, cyano, alkoxycarbonyl, Ph, heterocyclyl, etc.; R4 = heterocyclyl group Q; R2 = H, (cyclo)alk(en)yl, alkanoyl, heterocyclyl, etc.; 1 of Z, Z3 = N and the other = N or (alkyl)methine; Z1 = O, SO0-2, (alkyl)imino, CO, CH2, etc.; Z2 = (un)substituted 1,4-phenylene] were prepd. Thus, 4-FC6H4COMe was sulfonated by PhSO2Na and the reduced product treated with SOCl2 to give PhSO2C6H4(CHClMe)-4 which was aminated by N-cyclohexylpiperazine to give title compd. I (R1 = Me, R5 = H, n = 2). Sulfoxide isomer I (R1 = cyano, R5 = OMe, n = 1) (II) increased acetylcholine release in striatum of conscious rat from 30% (tacrine 3mg/kg i.p.) to 130% over baseline at 1mg/kg i.p. with tacrine 3mg/kg i.p.

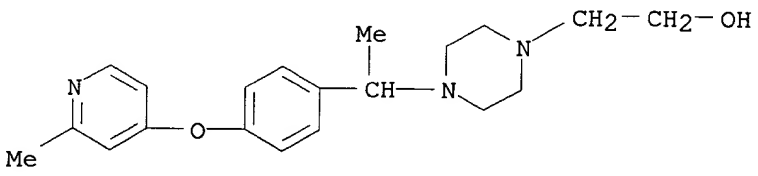
IT **182133-94-4P 182133-95-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzylpiperidines and -piperazines as muscarinic antagonists)

RN 182133-94-4 CAPLUS

CN 1-Piperazineethanol, 4-[1-[4-[(2-methyl-4-pyridinyl)oxy]phenyl]ethyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 182133-93-3
CMF C20 H27 N3 O2

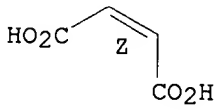


09922619

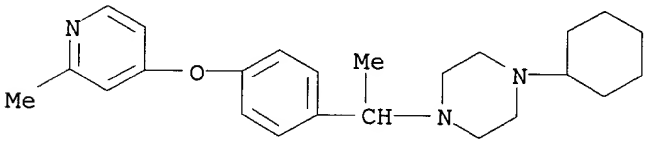
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



RN 182133-95-5 CAPLUS
CN Piperazine, 1-cyclohexyl-4-[1-[4-[(2-methyl-4-pyridinyl)oxy]phenyl]ethyl]-(9CI) (CA INDEX NAME)

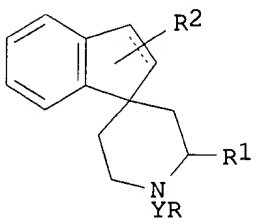


L4 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:954796 CAPLUS
DOCUMENT NUMBER: 123:330860
TITLE: Tocolytic oxytocin receptor antagonists
INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Culberson, J. Christopher; Gilbert, Kevin F.; Rittle, Kenneth E.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

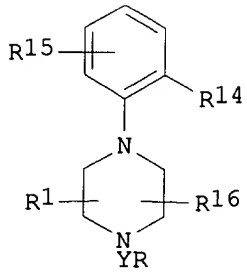
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9525443	A1	19950928	WO 1995-US3738	19950323
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5464788	A	19951107	US 1994-217270	19940324
CA 2186129	AA	19950928	CA 1995-2186129	19950323
AU 9521952	A1	19951009	AU 1995-21952	19950323
AU 686792	B2	19980212		
EP 751773	A1	19970108	EP 1995-914875	19950323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09512521	T2	19971216	JP 1995-524838	19950323
US 5756504	A	19980526	US 1996-718415	19960923

PRIORITY APPLN. INFO.: US 1994-217270 19940324

WO 1995-US3738 19950323
OTHER SOURCE(S): MARPAT 123:330860
GI



I

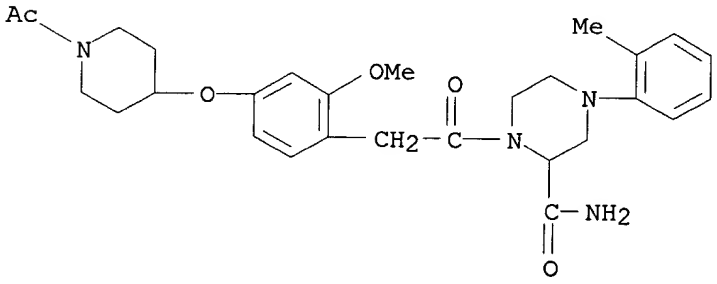


II

AB Spiroindenepiperidine derivs. I [R1 = H, C1-5 alkyl, CN, CO2H, Ph, etc.; R2 = H, PhCH2, C3-8 cycloalkyl, C1-5 alkyl; Y = CO2, C(O)NR2, C(:NR2), SO2, C(O)(CH2)n, (CH2)p, (CH2)pC(O); R = (tetrahydro)naphthyl, (substituted) cyclohexyl, (substituted) Ph, heterocyclyl; bond in cyclopentane ring is single or double; n = 0-3; p = 1-3] and phenylpiperazine derivs. II (Y, R, R1 as above; R14, R15 = H, C1-5 alkyl, C1-5 alkoxy, halo, NO2, CN; R16 = H, :O) and their pharmaceutically acceptable salts and esters are useful as oxytocin and vasopressin receptor antagonists for treatment of preterm labor and dysmenorrhea and for stopping labor prior to cesarean delivery. Thus, 1-[2-methoxy-4-[1-[2-(N-cyclopropylamino)ethylsulfonyl]-4-piperidyloxy]phenylacetyl]-4-(2-methylphenyl)piperazine-2-carboxamide (III) was prepd. in 11 steps from 4-hydroxypiperidine, Me 2,4-dihydroxybenzoate, 2-benzylaminoethanol, o-toluidine, 2,3-dibromopropionamide, and cyclopropylamine. III competed with 1 nM oxytocin-3H for binding to rat uterine tissue with an IC50 of 20 nM.

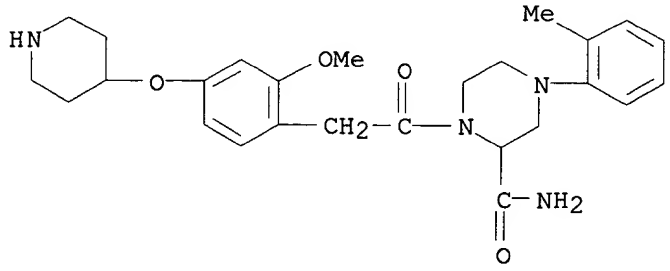
IT **170929-69-8P 170929-70-1P 170929-71-2P**
170929-72-3P 170929-73-4P 170929-74-5P
170929-75-6P 170929-76-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tocolytic oxytocin receptor antagonists)

RN 170929-69-8 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[(1-acetyl-4-piperidinyl)oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



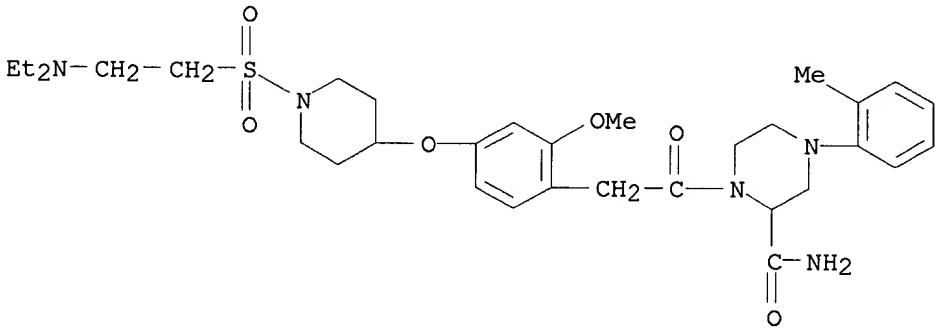
RN 170929-70-1 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-(4-piperidinyloxy)phenyl]acetyl]-

4-(2-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

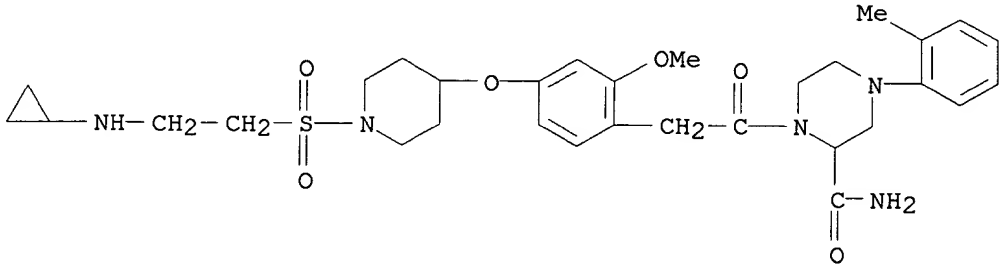


● HCl

RN 170929-71-2 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-[[2-(diethylamino)ethyl]sulfonyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

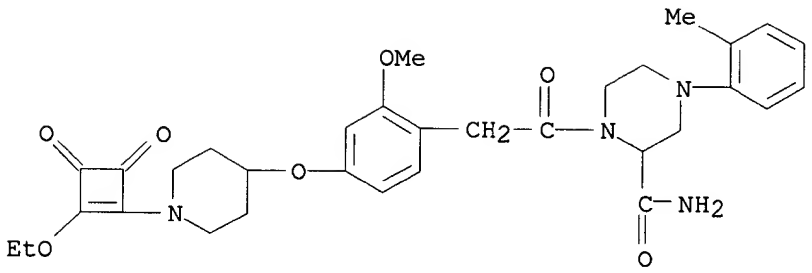


RN 170929-72-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-[[2-(cyclopropylamino)ethyl]sulfonyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

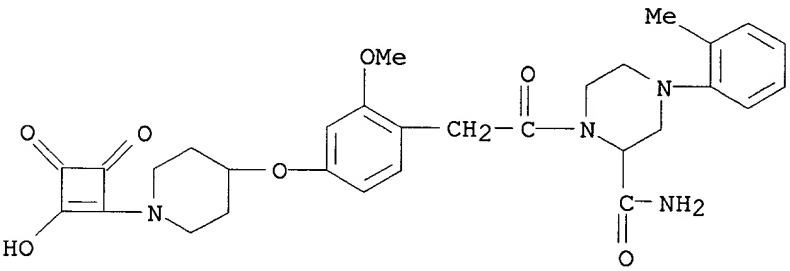


RN 170929-73-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(2-ethoxy-3,4-dioxo-1-cyclobuten-1-yl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA

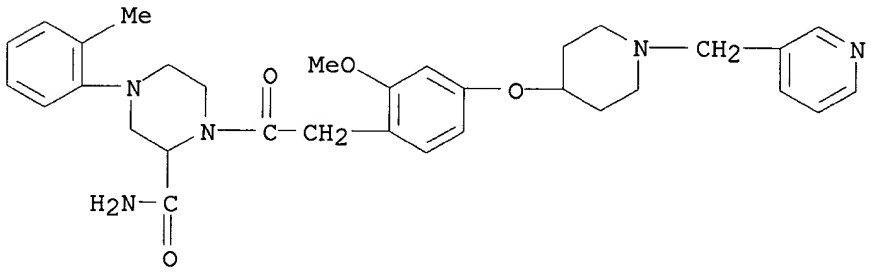
INDEX NAME)



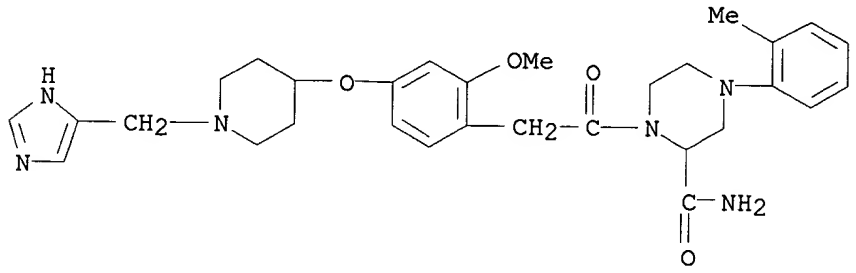
RN 170929-74-5 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(2-hydroxy-3,4-dioxo-1-cyclobuten-1-yl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 170929-75-6 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-(3-pyridinylmethyl)-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



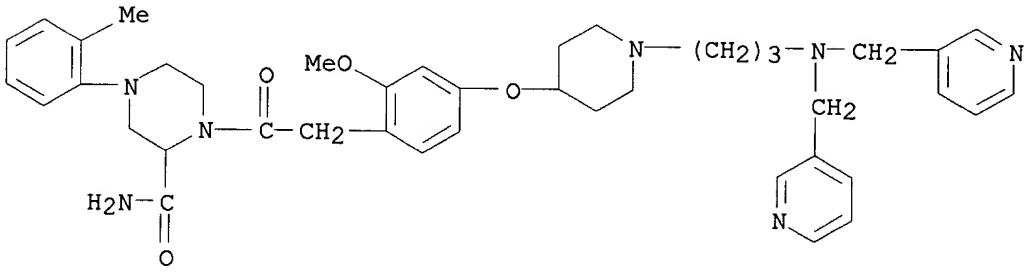
RN 170929-76-7 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(1H-imidazol-4-ylmethyl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



IT **170930-20-8 170930-21-9 170930-22-0**
170930-23-1 170930-24-2 170930-25-3
170930-26-4 170930-27-5 170930-28-6
170930-29-7 170930-30-0 170930-31-1
170930-32-2 170930-33-3 170930-34-4
170930-35-5 170930-36-6
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tocolytic oxytocin receptor antagonists)

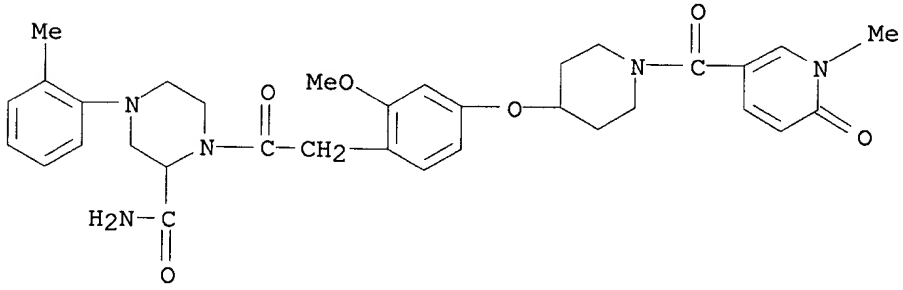
RN 170930-20-8 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[4-[[1-[3-[bis(3-pyridinylmethyl)amino]propyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 170930-21-9 CAPLUS

CN 2-Piperazinecarboxamide, 1-[[4-[[1-[(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

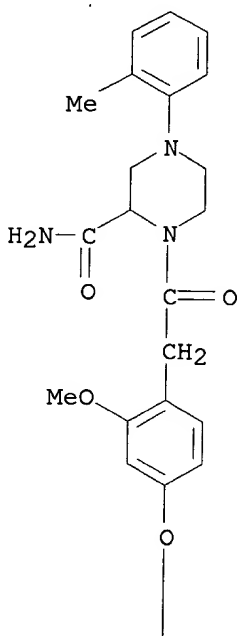


RN 170930-22-0 CAPLUS

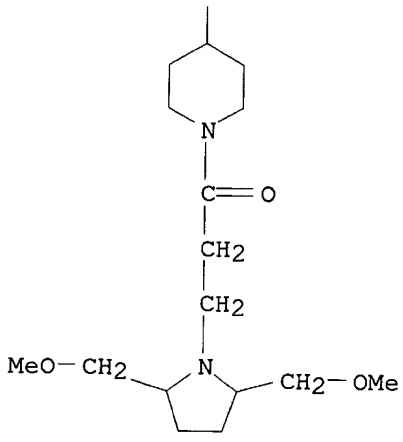
CN 2-Piperazinecarboxamide, 1-[[4-[[1-[3-[2,5-bis(methoxymethyl)-1-pyrrolidinyl]-1-oxopropyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-

methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

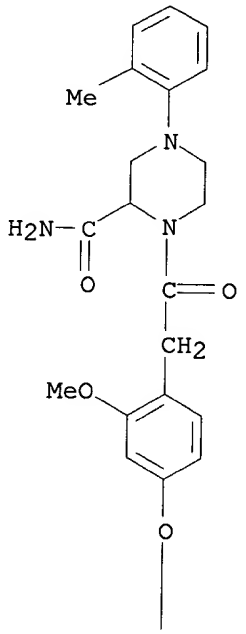


PAGE 2-A

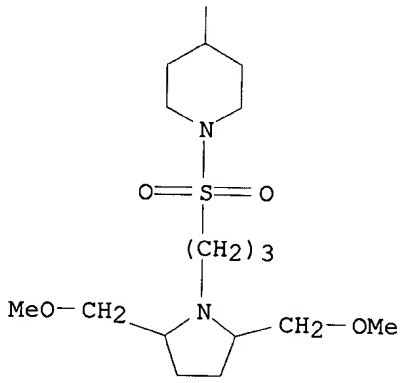


RN 170930-23-1 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-[[3-[2,5-bis(methoxymethyl)-1-pyrrolidinyl]propyl]sulfonyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

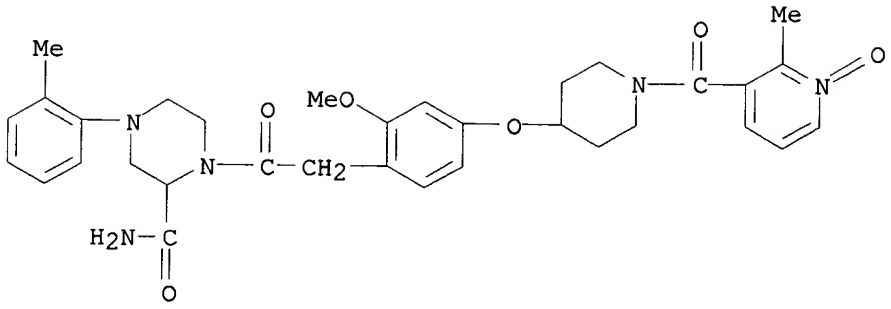
PAGE 1-A



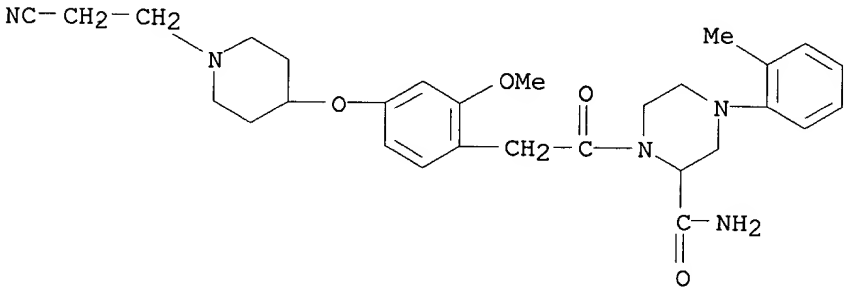
PAGE 2-A



RN 170930-24-2 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)-(9CI) (CA INDEX NAME)

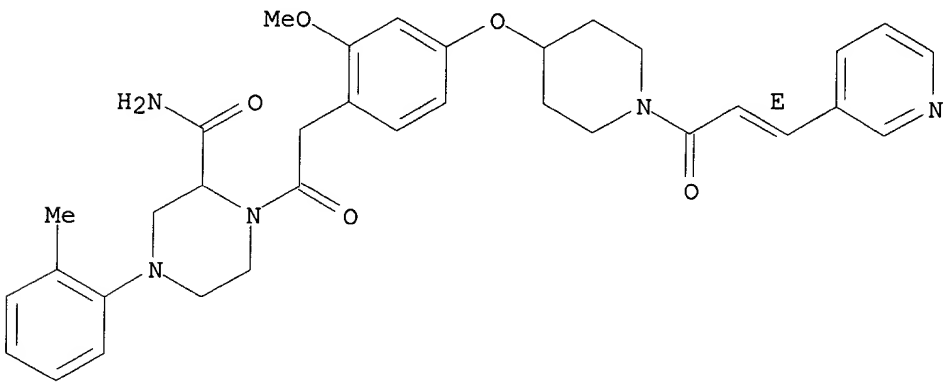


RN 170930-25-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(2-cyanoethyl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

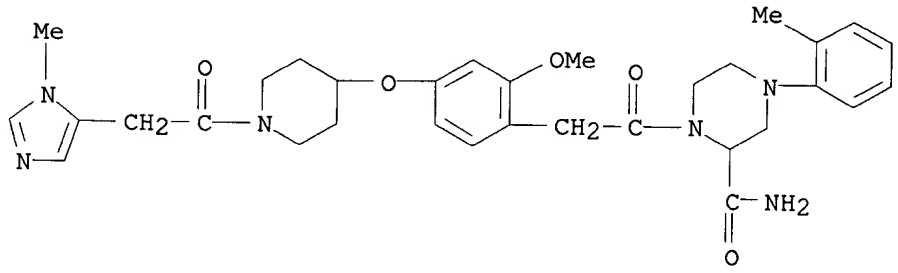


RN 170930-26-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-[1-oxo-3-(3-pyridinyl)-2-propenyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)-, (E)- (9CI) (CA INDEX NAME)

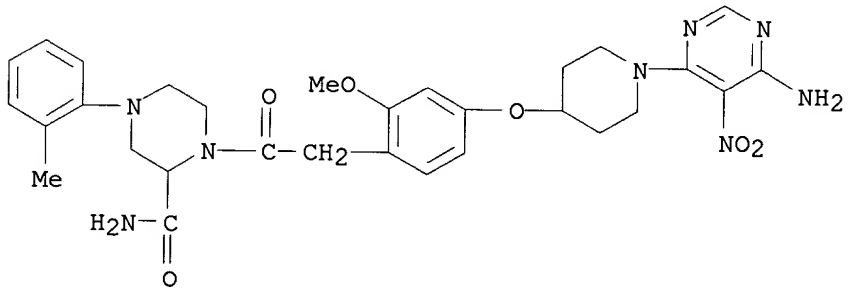
Double bond geometry as shown.



RN 170930-27-5 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-[(1-methyl-1H-imidazol-5-yl)acetyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

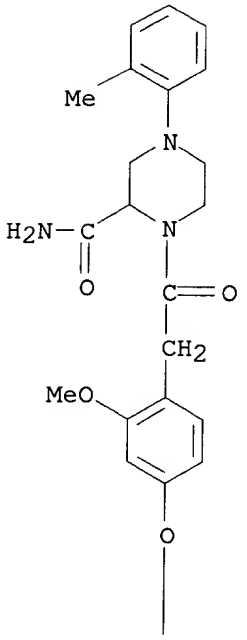


RN 170930-28-6 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(6-amino-5-nitro-4-pyrimidinyl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

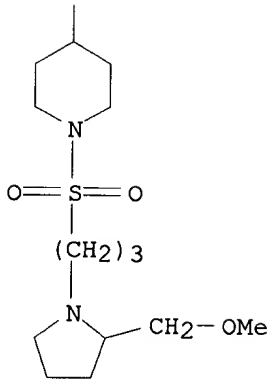


RN 170930-29-7 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-[[3-[2-(methoxymethyl)-1-pyrrolidinyl]propyl]sulfonyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

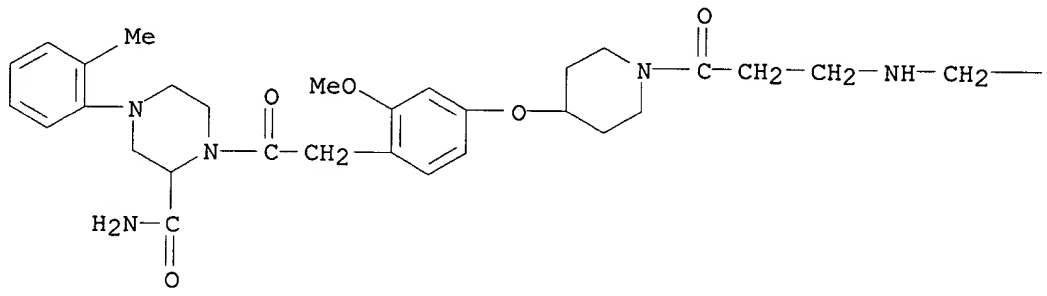


PAGE 2-A

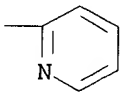


RN 170930-30-0 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-[1-oxo-3-[(2-pyridinylmethyl)amino]propyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

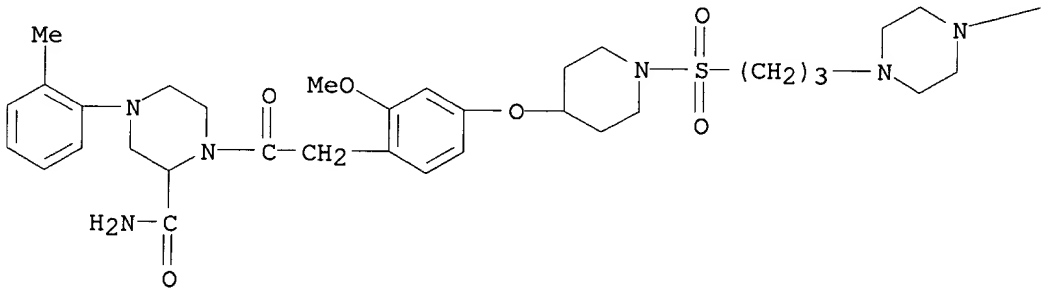


PAGE 1-B



RN 170930-31-1 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-[[3-(4-propyl-1-piperazinyl)propyl]sulfonyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

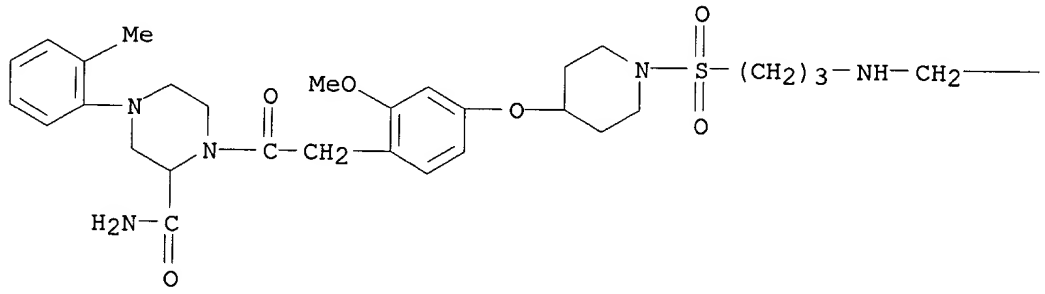
PAGE 1-A



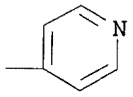
PAGE 1-B

RN 170930-32-2 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-[[3-[(4-pyridinylmethyl)amino]propyl]sulfonyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

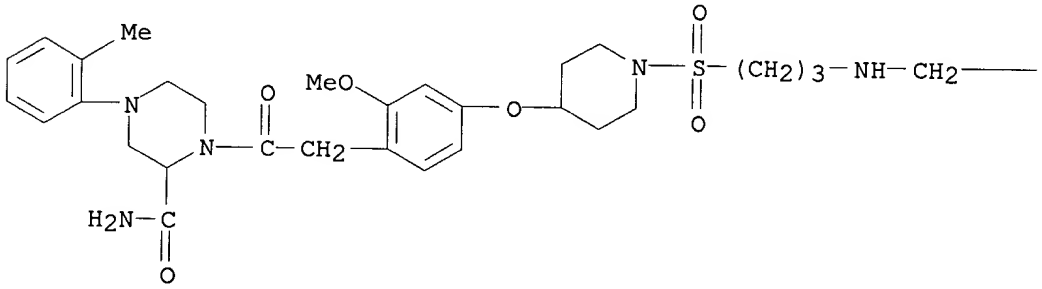


PAGE 1-B

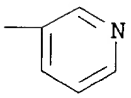


RN 170930-33-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-[[3-[(3-pyridinylmethyl)amino]propyl]sulfonyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

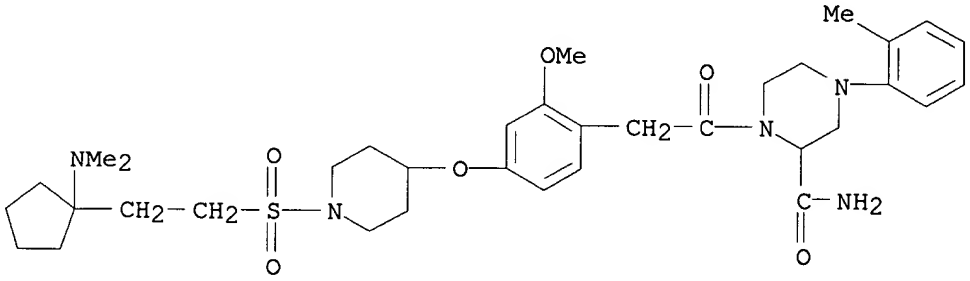
PAGE 1-A



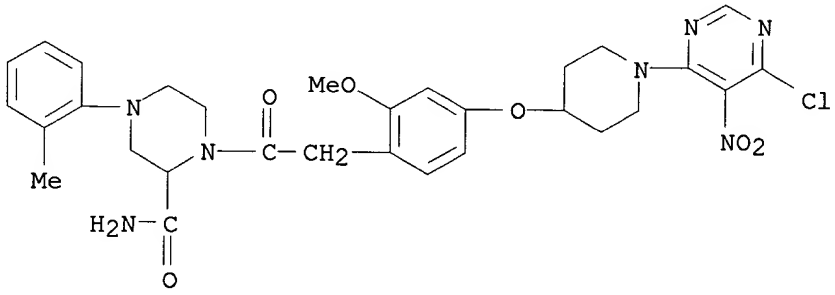
PAGE 1-B



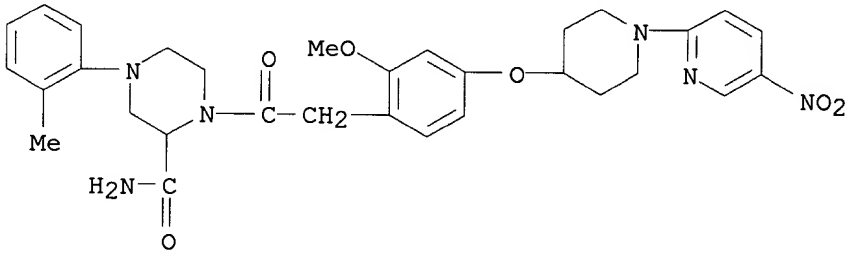
RN 170930-34-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-[[2-[1-(dimethylamino)cyclopentyl]ethyl]sulfonyl]-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 170930-35-5 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(6-chloro-5-nitro-4-pyrimidinyl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

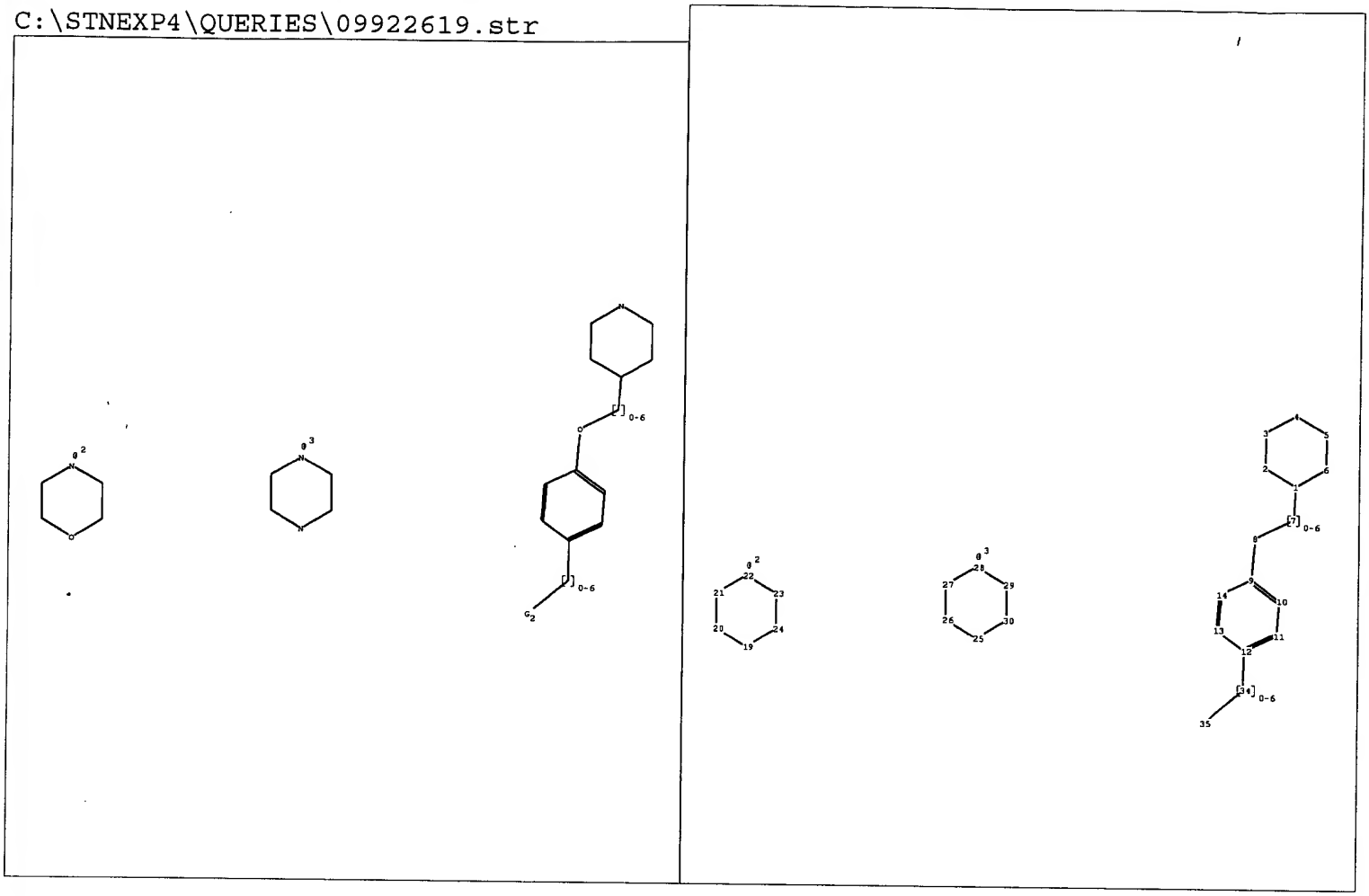


RN 170930-36-6 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[2-methoxy-4-[[1-(5-nitro-2-pyridinyl)-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



IT **170930-05-9P 170930-06-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(tocolytic oxytocin receptor antagonists)
RN 170930-05-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[2-[2-(aminocarbonyl)-4-(2-methylphenyl)-1-piperazinyl]-2-oxoethyl]-3-methoxyphenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

C:\STNEXP4\QUERIES\09922619.str



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7 8 34 35
ring nodes :
1 2 3 4 5 6 9 10 11 12 13 14 19 20 21 22 23 24 25 26
27 28 29 30
chain bonds :
1-7 7-8 8-9 12-34 34-35
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14
19-20 19-24 20-21 21-22 22-23 23-24 25-26 25-30 26-27 27-28
28-29 29-30
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 8-9 19-20 19-24 20-21 21-22 22-23
23-24 25-26 25-30 26-27 27-28 28-29 29-30 34-35
exact bonds :
1-7 12-34
normalized bonds :
9-10 9-14 10-11 11-12 12-13 13-14
isolated ring systems :
containing 1 : 9 :

G1

G2:[*2],[*3]

Match level :

09922619

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1613SXW

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3	Jan 25	Searching with the P indicator for Preparations
NEWS 4	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS 5	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 6	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 7	Mar 08	Gene Names now available in BIOSIS
NEWS 8	Mar 22	TOXLIT no longer available
NEWS 9	Mar 22	TRCTHERMO no longer available
NEWS 10	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 11	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS 12	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 13	Apr 08	"Ask CAS" for self-help around the clock
NEWS 14	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 15	Apr 09	ZDB will be removed from STN

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:54:20 ON 16 APR 2002

=> fil reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

09922619

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:54:28 ON 16 APR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 15 APR 2002 HIGHEST RN 405259-61-2
DICTIONARY FILE UPDATES: 15 APR 2002 HIGHEST RN 405259-61-2

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the H/Z/CA/CAplus files between 12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches during this period, either directly appended to a CAS Registry Number or by qualifying an L-number with /P, may have yielded incomplete results. As of 1/23/02, the situation has been resolved. Also, note that searches conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator between 12/27/01 and 1/23/02, are encouraged to re-run these strategies. Contact the CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698, worldwide, or send an e-mail to help@cas.org for further assistance or to receive a credit for any duplicate searches.

=>
Uploading 922619b.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 16:54:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13047 TO ITERATE

100.0% PROCESSED 13047 ITERATIONS 14 ANSWERS
SEARCH TIME: 00.00.02

L2 14 SEA SSS FUL L1

09922619

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.28	140.49

FILE 'CAPLUS' ENTERED AT 16:54:56 ON 16 APR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 16 Apr 2002 VOL 136 ISS 16
FILE LAST UPDATED: 15 Apr 2002 (20020415/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.

=> s l2 full
L3 8 L2

=> d l3 ibib abs hitstr

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:283933 CAPLUS
DOCUMENT NUMBER: 134:295834
TITLE: Preparation of 4-anilinopyrimidines as p38 kinase inhibitors
INVENTOR(S): Cumming, John Graham
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001027089	A1	20010419	WO 2000-GB3929	20001010	

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-24092 A 19991013

OTHER SOURCE(S): MARPAT 134:295834

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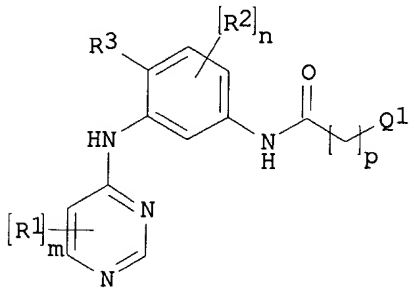


I

AB The title compds. [I; m = 0-3; R1 = OH, halo, CF3, CN; R3 = H, halo, alkyl; n = 0-2; R2 = OH, halo, CF3, CN; p = 0-4; Q1 = aryl, heteroaryl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepd. and formulated. E.g., a multi-step synthesis of I [R1 = 2-Cl,6-(H2NCO); R2 = H; R3 = Me; p = 0; Q1 = 3-fluoro-5-morpholinophenyl] which showed IC50 of 0.03 .mu.M against p38.alpha. and IC50 of 16 .mu.M in the Human Whole Blood test, was given.

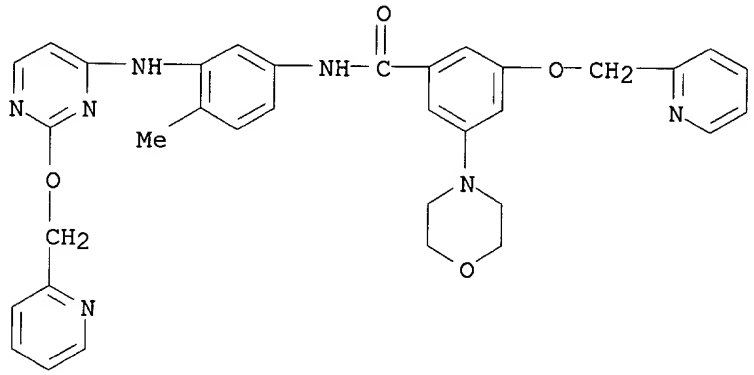
IT **334893-76-4P 334893-77-5P 334893-78-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

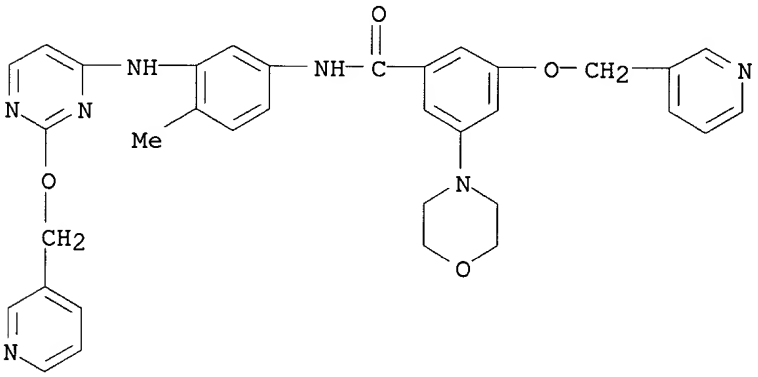
(prepn. of 4-anilinopyrimidines as p38 kinase inhibitors)

RN 334893-76-4 CAPLUS

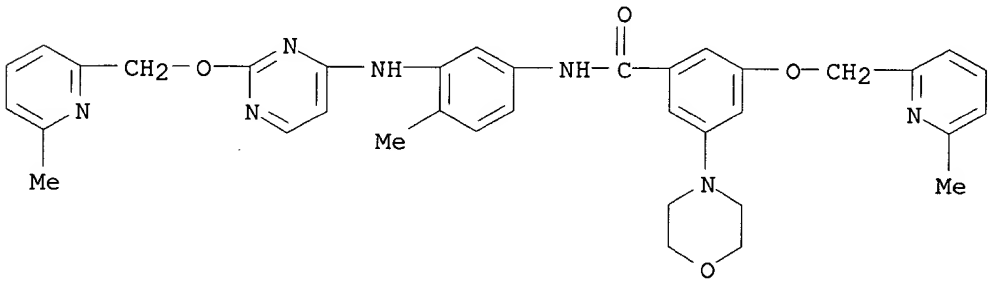
CN Benzamide, N-[4-methyl-3-[[2-(2-pyridinylmethoxy)-4-pyrimidinyl]amino]phenyl]-3-(4-morpholinyl)-5-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 334893-77-5 CAPLUS
CN Benzamide, N-[4-methyl-3-[[2-(3-pyridinylmethoxy)-4-pyrimidinyl]amino]phenyl]-3-(4-morpholinyl)-5-(3-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 334893-78-6 CAPLUS
CN Benzamide, N-[4-methyl-3-[[2-[(6-methyl-2-pyridinyl)methoxy]-4-pyrimidinyl]amino]phenyl]-3-[(6-methyl-2-pyridinyl)methoxy]-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l3 1-8 ibib abs hitstr

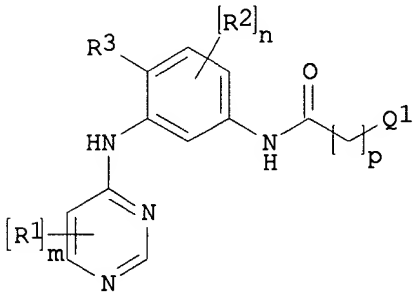
09922619

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:283933 CAPLUS
DOCUMENT NUMBER: 134:295834
TITLE: Preparation of 4-anilinopyrimidines as p38 kinase inhibitors
INVENTOR(S): Cumming, John Graham
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027089	A1	20010419	WO 2000-GB3929	20001010

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-24092 A 19991013
OTHER SOURCE(S): MARPAT 134:295834
GI



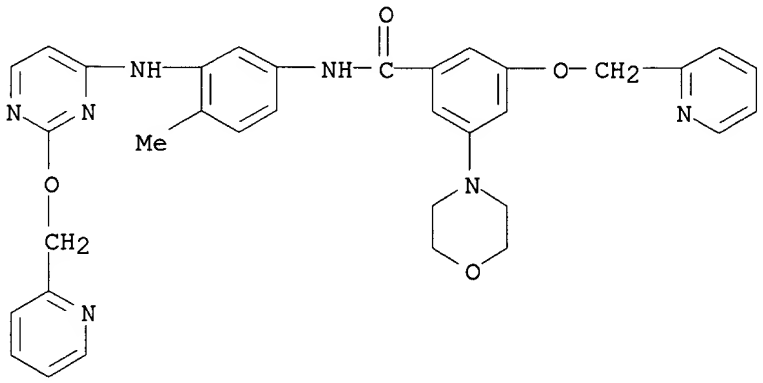
I

AB The title compds. [I; m = 0-3; R1 = OH, halo, CF3, CN; R3 = H, halo, alkyl; n = 0-2; R2 = OH, halo, CF3, CN; p = 0-4; Q1 = aryl, heteroaryl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepd. and formulated. E.g., a multi-step synthesis of I [R1 = 2-Cl,6-(H2NCO); R2 = H; R3 = Me; p = 0; Q1 = 3-fluoro-5-morpholinophenyl] which showed IC50 of 0.03 .mu.M against p38.alpha. and IC50 of 16 .mu.M in the Human Whole Blood test, was given.

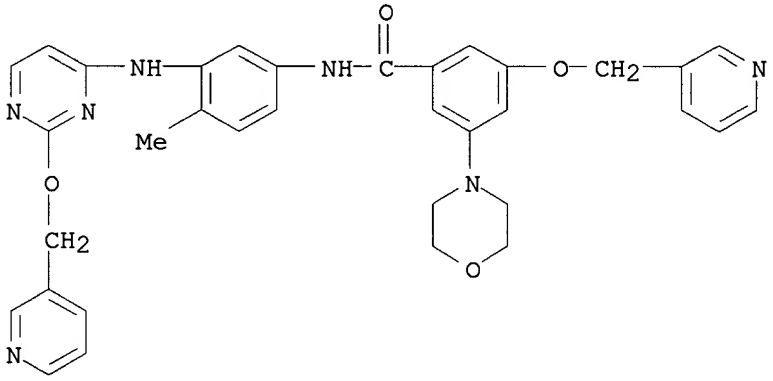
IT **334893-76-4P 334893-77-5P 334893-78-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-anilinopyrimidines as p38 kinase inhibitors)

RN 334893-76-4 CAPLUS

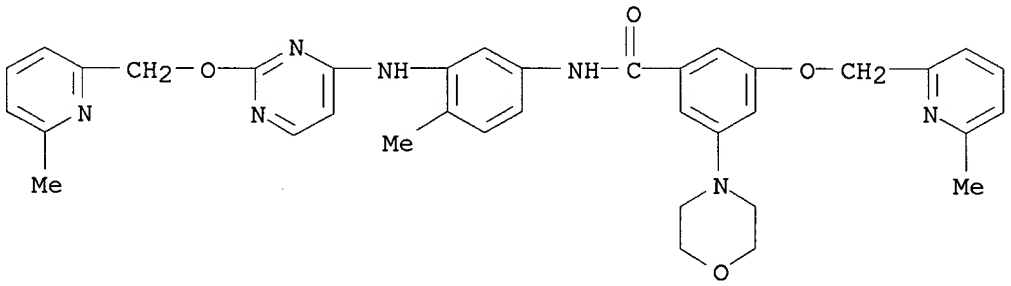
CN Benzamide, N-[4-methyl-3-[[2-(2-pyridinylmethoxy)-4-pyrimidinyl]amino]phenyl]-3-(4-morpholinyl)-5-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 334893-77-5 CAPLUS
CN Benzamide, N-[4-methyl-3-[[2-(3-pyridinylmethoxy)-4-pyrimidinyl]amino]phenyl]-3-(4-morpholinyl)-5-(3-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 334893-78-6 CAPLUS
CN Benzamide, N-[4-methyl-3-[[2-[(6-methyl-2-pyridinyl)methoxy]-4-pyrimidinyl]amino]phenyl]-3-[(6-methyl-2-pyridinyl)methoxy]-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS

09922619

ACCESSION NUMBER: 1999:244635 CAPLUS
DOCUMENT NUMBER: 130:296611
TITLE: Preparation of novel lactam as metalloprotease inhibitors
INVENTOR(S): Duan, Jinguw; Decicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918074	A1	19990415	WO 1998-US21037	19981002
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9808967	A	20000403	ZA 1998-8967	19981001
CA 2305679	AA	19990415	CA 1998-2305679	19981002
AU 9896866	A1	19990427	AU 1998-96866	19981002
US 6057336	A	20000502	US 1998-165747	19981002
EP 1027332	A1	20000816	EP 1998-950954	19981002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9815398	A	20001031	BR 1998-15398	19981002
JP 2001519331	T2	20011023	JP 2000-514886	19981002
NO 2000000783	A	20000529	NO 2000-783	20000217

PRIORITY APPLN. INFO.: US 1997-62418P P 19971003
WO 1998-US21037 W 19981002

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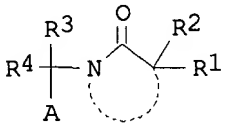

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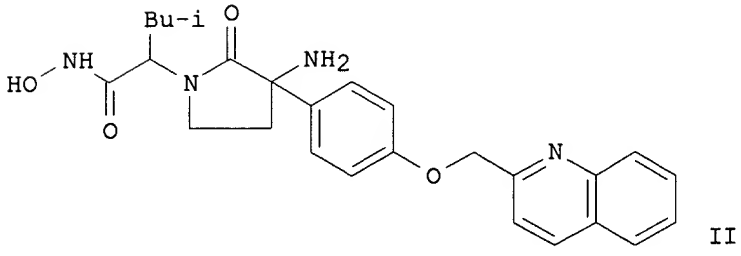


II

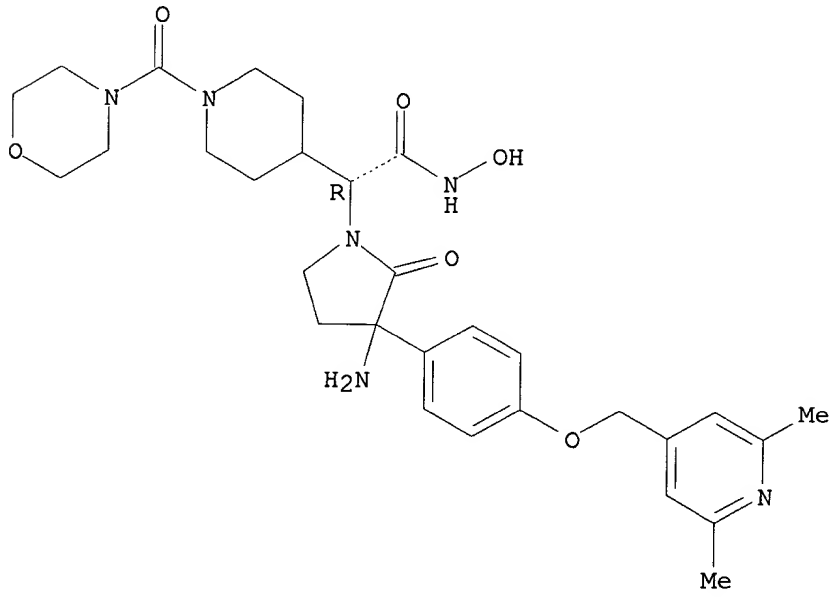
AB Title compds. [I; A is selected from COOH, CH2COOH, CONHOH, SH, CH2SH, PO(OH)2, etc.; ring B is a 4-8 membered cyclic amide contg. 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH3, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepd. as useful metalloprotease inhibitors. Thus, compd. II was prepd. via alkylation, oxidn., amination, and cyclization.

IT **223404-69-1P 223408-19-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel lactam metalloprotease inhibitors)

RN 223404-69-1 CAPLUS

CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(4-morpholinylcarbonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



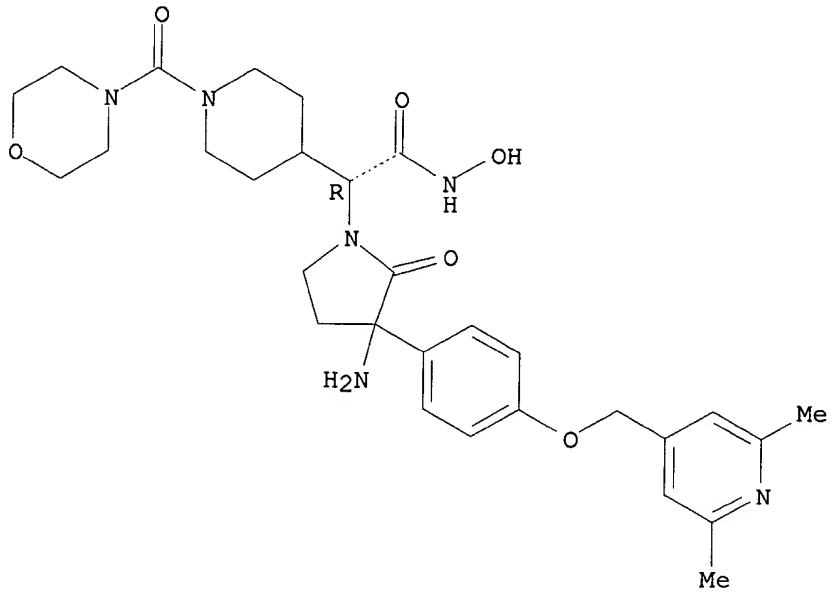
RN 223408-19-3 CAPLUS

CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(4-morpholinylcarbonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

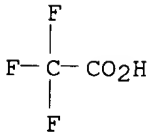
CRN 223404-69-1
CMF C30 H40 N6 O6

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:157415 CAPLUS
DOCUMENT NUMBER: 128:205136
TITLE: Preparation of acylated amino acid derivatives for multi-drug resistance therapies and immune suppression.
INVENTOR(S): Armistead, David M.; Harding, Matthew W.; Saunders, Jeffrey O.; Boger, Joshua S.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: U.S., 34 pp. Cont.-in-part of U.S. 5,620,971.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5723459	A	19980303	US 1995-377315	19950124
US 5620971	A	19970415	US 1994-217982	19940325

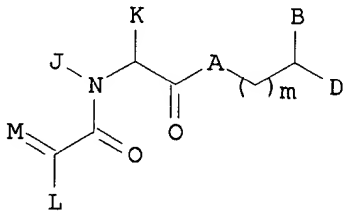
09922619

PRIORITY APPLN. INFO.:

US 1991-697785	B2	19910509
US 1992-881152	B2	19920511
US 1992-952299	B2	19920928
US 1993-127814	B2	19930928
US 1994-217982	A2	19940325

OTHER SOURCE(S): MARPAT 128:205136

GI



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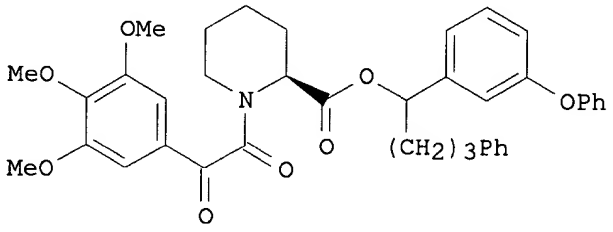


III

AB The present invention relates to novel acylated amino acid esters I [A = CH2, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)aralkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH2Ph; K = alkyl, CH2Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-contg. heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them, which possess a broad range of useful biol. activities. These compds. can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. They can also suppress, modify, or significantly reduce an immune response, including an autoimmune response in a mammal. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well-suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, for use in multi-drug resistant cancer therapy, and for prevention or treatment of graft rejection and various autoimmune diseases. Over 100 I are reported, including both single and mixed diastereomers. Thus, 3-PhOC6H4CH2OH underwent oxidn. to the aldehyde and reaction with Ph(CH2)3MgBr to give the racemic alc. 3-PhOC6H4CH(OH)(CH2)3Ph (II). Esterification of II with (S)-N-[(3,4,5-trimethoxyphenyl)glyoxyl]pipecolic acid (prepn. given) yielded ester III as a mixt. of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

IT **188615-51-2P**

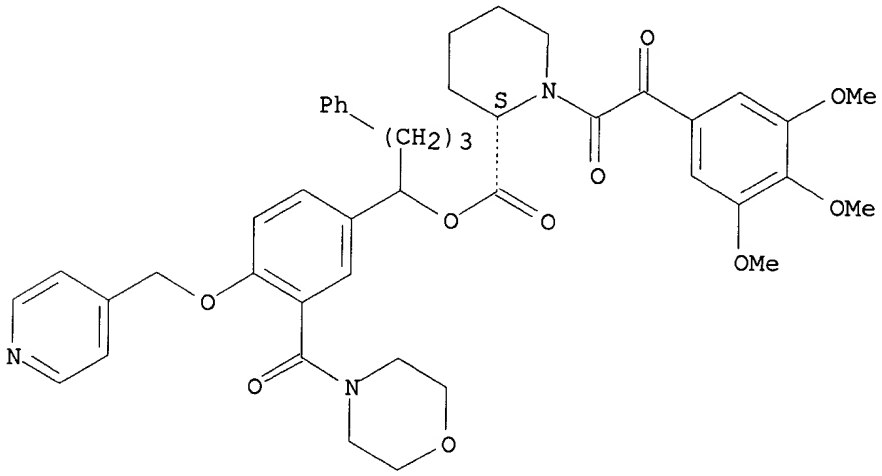
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acylated amino acid esters for multi-drug resistance therapies and immune suppression.)

RN 188615-51-2 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 1-[3-(4-morpholinylcarbonyl)-4-(4-pyridinylmethoxy)phenyl]-4-phenylbutyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:307496 CAPLUS

DOCUMENT NUMBER: 126:272378

TITLE: Methods and compositions for stimulating neurite growth using compds. with affinity for FKBP12 in combination with neurotrophic factors

INVENTOR(S): Armistead, David M.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: S. African, 54 pp.
CODEN: SFXXAB

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 9604852	A	19960729	ZA 1996-4852	19960607
US 6037370	A	20000314	US 1995-486004	19950608
CA 2222430	AA	19961227	CA 1996-2222430	19960606
WO 9641609	A2	19961227	WO 1996-US10123	19960606
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9661119	A1	19970109	AU 1996-61119	19960606
EP 831812	A2	19980401	EP 1996-918469	19960606

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

CN 1202104	A	19981216	CN 1996-195690		19960606
BR 9609333	A	19991013	BR 1996-9333		19960606
JP 2002502355	T2	20020122	JP 1997-503275		19960606
US 6124328	A	20000926	US 1997-795956		19970228
US 6326387	B1	20011204	US 2000-616539		20000714

PRIORITY APPLN. INFO.:

US 1995-486004	A	19950608
WO 1996-US10123	W	19960606
US 1997-795956	A3	19970228

OTHER SOURCE(S): MARPAT 126:272378

AB A pharmaceutically acceptable compn. is disclosed which comprises (a) a neurotropic amt. of a compd. with affinity for FK-506-binding protein FKBP12 e.g. having the formula BAC(:O)CH(K)N(J)C(:O)C(:E)D [A = O, NH, N(C1-4 alkyl); B = H, C1-6 (branched) alkyl, C2-6 (branched) alkenyl, C5-7 cycloalkyl, etc.; D = U; E = O, CHU (if D = H, then E = CH-U; if E = O, then D is not H); U = H, O-(C1-4)-straight or branched alkyl, O-(C2-4)-straight or branched alkenyl, C1-6 (branched) alkyl, C2-6 (branched) alkenyl, (substituted) C5-7 cycloalkyl, (substituted) C5-7 cycloalkenyl, etc.; J = H, C1-2 alkyl; K = C1-4 (branched) alkyl, benzyl, cyclohexylmethyl, or J and K taken together form 5-7 membered heterocyclic ring which may contain O, S, SO, SO2; and the stereochem. at carbon to which K is bonded = R or S] and pharmaceutically acceptable derivs. thereof; (b) a neurotrophic factor; and (c) a pharmaceutically carrier. The neurotrophic factor may be e.g. nerve growth factor. The methodol. of the invention can be used to promote repair of neuronal damage caused by disease or phys. trauma.

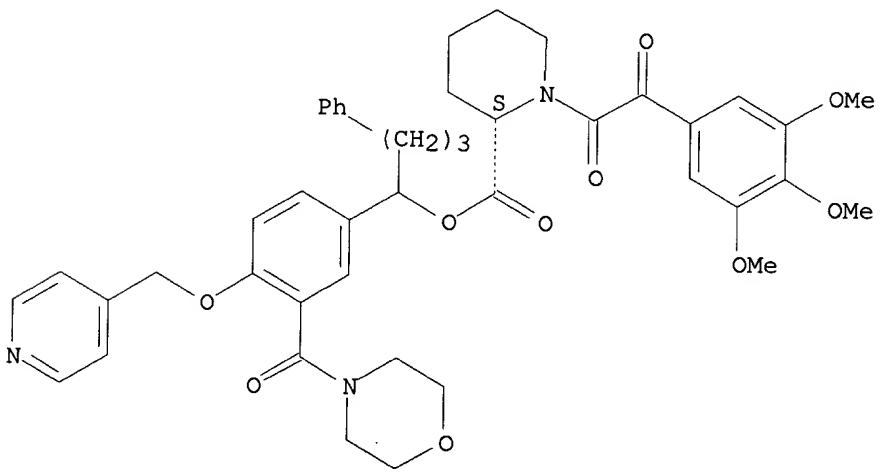
IT **188615-51-2**

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. with affinity for FKBP12 in combination with neurotrophic factors for stimulating neurite growth)

RN 188615-51-2 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 1-[3-(4-morpholinylcarbonyl)-4-(4-pyridinylmethoxy)phenyl]-4-phenylbutyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



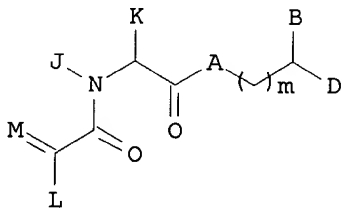
L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS

09922619

ACCESSION NUMBER: 1997:276774 CAPLUS
DOCUMENT NUMBER: 126:343875
TITLE: Preparation of acylated amino acid derivatives for multi-drug resistance therapies and immune suppression.
INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.; Boger, Joshua S.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 881,152, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5620971	A	19970415	US 1994-217982	19940325
US 5723459	A	19980303	US 1995-377315	19950124

PRIORITY APPLN. INFO.: US 1991-697785 B2 19910509
US 1992-881152 B2 19920511
US 1992-952299 B2 19920928
US 1993-127814 B2 19930928
US 1994-217982 A2 19940325
OTHER SOURCE(S): MARPAT 126:343875
GI



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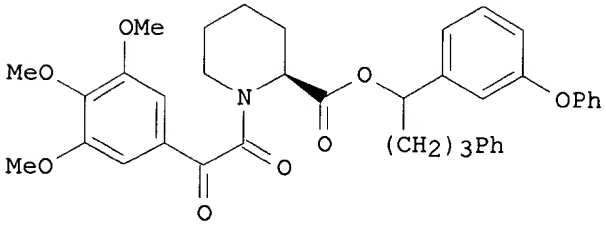


III

AB The present invention relates to novel acylated amino acid esters I [A = CH2, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)aralkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH2Ph; K = alkyl, CH2Ph, cyclohexylmethyl; or JK = atoms to form 5- to

7-membered, optionally O- or S-contg. heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them, which possess a broad range of useful biol. activities. These compds. can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. They can also suppress, modify, or significantly reduce an immune response, including an autoimmune response in a mammal. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well-suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, for use in multi-drug resistant cancer therapy, and for prevention or treatment of graft rejection and various autoimmune diseases. Over 100 I are reported, including both single and mixed diastereomers. Thus, 3-PhOC6H4CH2OH underwent oxidn. to the aldehyde and reaction with Ph(CH2)3MgBr to give the racemic alc. 3-PhOC6H4CH(OH)(CH2)3Ph (II). Esterification of II with (S)-N-[(3,4,5-trimethoxyphenyl)glyoxyl]pipecolic acid (prepn. given) yielded ester III as a mixt. of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

IT **188615-51-2P**

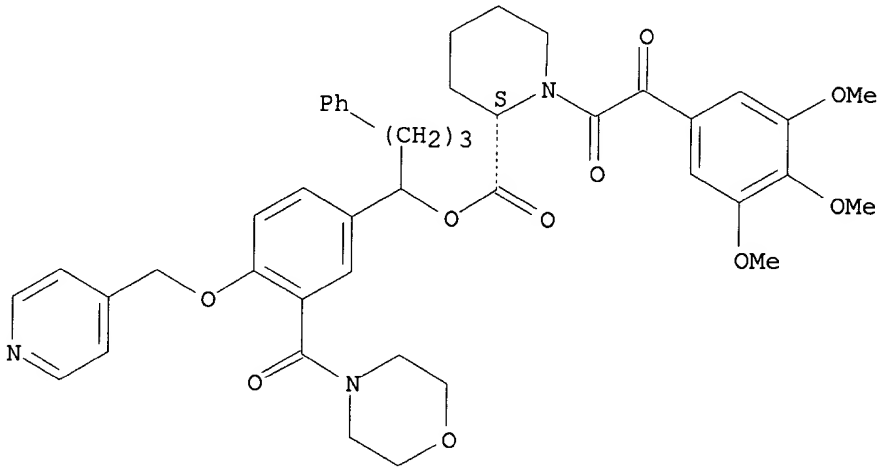
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of acylated amino acid esters for multi-drug resistance therapies and immune suppression.)

RN 188615-51-2 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 1-[3-(4-morpholinylcarbonyl)-4-(4-pyridinylmethoxy)phenyl]-4-phenylbutyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:274880 CAPLUS

DOCUMENT NUMBER: 122:55896

TITLE: 1-(2-oxoacetyl)piperidine-2-carboxylic acid derivatives as multi-drug-resistant cancer cell sensitizers

INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.; Boger, Joshua S.

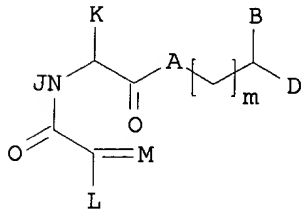
09922619

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407858	A1	19940414	WO 1993-US9145	19930927
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 107109	A1	19990312	IL 1993-107109	19930926
EP 662958	A1	19950719	EP 1993-922748	19930927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08502256	T2	19960312	JP 1993-509216	19930927
HU 72046	A2	19960328	HU 1995-890	19930927
AU 690082	B2	19980423	AU 1993-51648	19930927
RU 2158258	C2	20001027	RU 1995-110938	19930927
CZ 287396	B6	20001115	CZ 1995-769	19930927
CN 1088577	A	19940629	CN 1993-118201	19930928
FI 9501454	A	19950327	FI 1995-1454	19950327
NO 9501162	A	19950529	NO 1995-1162	19950327

PRIORITY APPLN. INFO.: US 1992-952299 A 19920928
WO 1993-US9145 W 19930927

OTHER SOURCE(S): MARPAT 122:55896
GI



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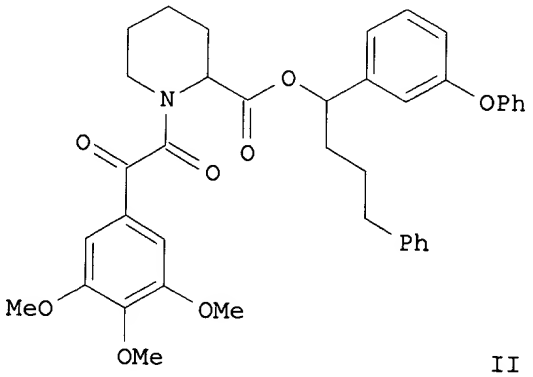


II

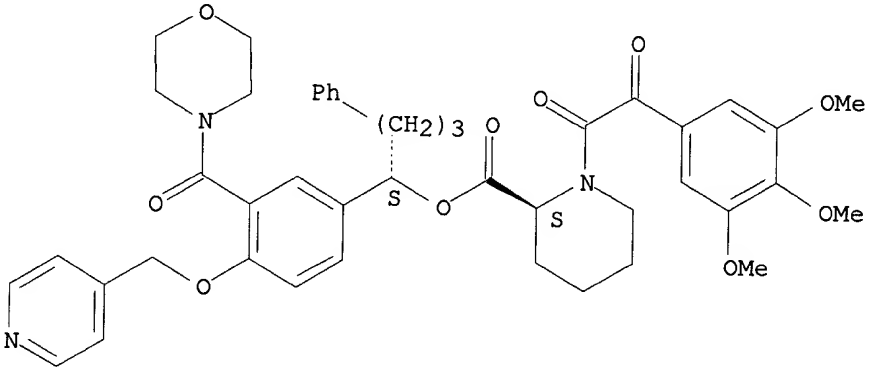
AB The invention relates to compds. I [A = CH2, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)aralkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH2Ph; K = alkyl, CH2Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-contg. heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them. The compds. maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents, and are particularly well-suited for treatment or prevention of multi-drug resistant cancer cells. Over 100 I are reported,

including both single and mixed diastereomers. For example, 3-PhOC6H4CH2OH underwent oxidn. to the aldehyde and reaction with Ph(CH2)3MgBr to give the racemic alc. 3-PhOC6H4CH(OH)(CH2)3Ph. Esterification of this with (S)-N-[(3,4,5-trimethoxyphenyl)glyoxyl]pipecolic acid (prepn. given) yielded the ester II as a mixt. of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

IT **159997-59-8P 159998-69-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as sensitizer for multi-drug-resistant cancer cells)

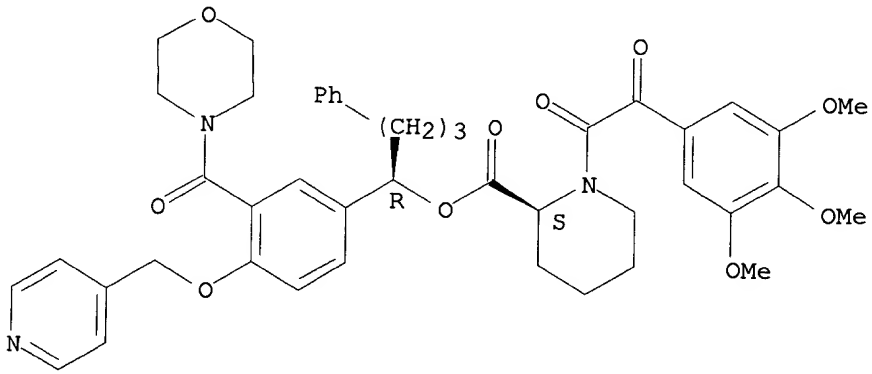
RN 159997-59-8 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 1-[3-(4-morpholinylcarbonyl)-4-(4-pyridinylmethoxy)phenyl]-4-phenylbutyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159998-69-3 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 1-[3-(4-morpholinylcarbonyl)-4-(4-pyridinylmethoxy)phenyl]-4-phenylbutyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:449127 CAPLUS
DOCUMENT NUMBER: 115:49127
TITLE: Preparation of dihydrocaffeic acid amide derivatives

09922619

as nerve growth factor promoters

INVENTOR(S): Fukazawa, Nobuyuki; Iizuka, Hajime; Yano, Osamu; Miyama, Yukio

PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan

SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 418065	A2	19910320	EP 1990-309997	19900912
EP 418065	A3	19910626		
EP 418065	B1	19940420		
R: CH, DE, DK, FR, GB, IT, LI, NL, SE				
JP 03099046	A2	19910424	JP 1989-234646	19890912
JP 06060141	B4	19940810		
CA 2024723	AA	19910313	CA 1990-2024723	19900906
AU 9062249	A1	19910321	AU 1990-62249	19900906
AU 625163	B2	19920702		
US 5116979	A	19920526	US 1990-578494	19900906
NO 9003967	A	19910313	NO 1990-3967	19900911
US 5290793	A	19940301	US 1992-968455	19921029

PRIORITY APPLN. INFO.: JP 1989-234646 19890912
US 1990-578494 19900906
US 1992-845160 19920303

OTHER SOURCE(S): MARPAT 115:49127

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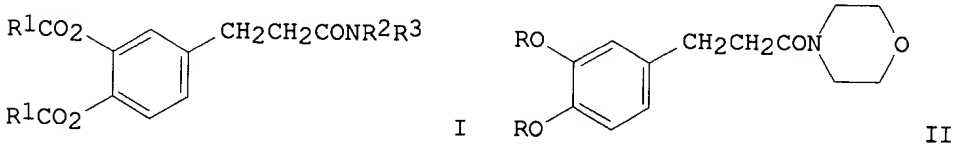


I II

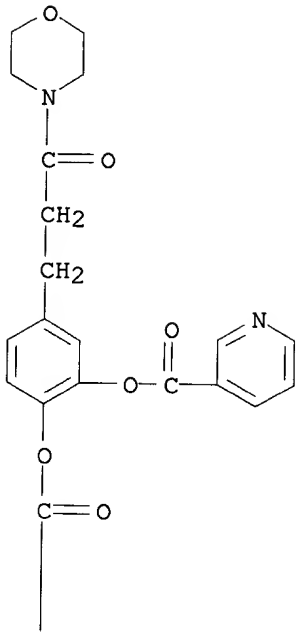
AB The title amides [I; R1 = alkyl, Ph, pyridyl; R2 = H; R3 = alkyl, cyclohexyl, adamantyl; R1R3N = morpholino, pyrrolidino], useful in treating senile dementia and Alzheimer's diseases, are prepd. A mixt. of 3 g dihydrocaffeic acid and 1.43 g morpholine in DMF was treated with 4-(dimethylamino)pyridine and DCC at room temp. to give 3.8 g amide II (R = H), which (2.51 g) was treated with 2.56 g nicotinoyl chloride HCl and Et3N in CHCl2 to give 1.99 g II (R = nicotinoyl) (III). Also prepd. and tested were 19 addnl. I. III at 0.4 mM showed 400% increase in nerve growth factor prodn. (Y. Furukana, 1986).

IT **134796-64-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nerve growth factor promoter)

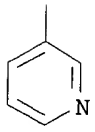
RN 134796-64-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-[3-(4-morpholinyl)-3-oxopropyl]-1,2-phenylene ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:407417 CAPLUS
DOCUMENT NUMBER: 111:7417
TITLE: Preparation, testing, and formulation of 1,1-diaryl-3-(thio)morpholinocarbonylpropanes as drugs for treating cerebral insufficiency
INVENTOR(S): Tatsuoka, Toshio; Suzuki, Kenji; Satoh, Fumio; Seiji, Miyano; Sumoto, Kunihiro
PATENT ASSIGNEE(S): Suntory, Ltd., Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

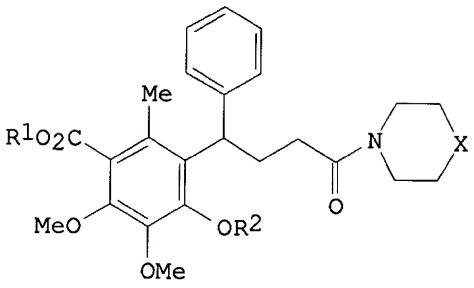
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 298758	A2	19890111	EP 1988-306247	19880708
EP 298758	A3	19891123		
EP 298758	B1	19950628		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

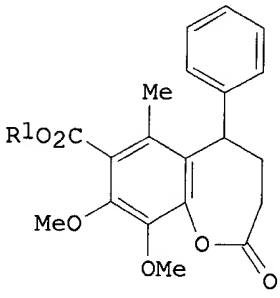
JP 01272576	A2	19891031	JP 1987-169683	19870709
JP 06002755	B4	19940112		
AU 8818655	A1	19890112	AU 1988-18655	19880704
AU 614757	B2	19910912		
US 4889853	A	19891226	US 1988-216337	19880708
CA 1320725	A1	19930727	CA 1988-571520	19880708

PRIORITY APPLN. INFO.: JP 1987-169683 19870709
OTHER SOURCE(S): CASREACT 111:7417; MARPAT 111:7417

GI



I



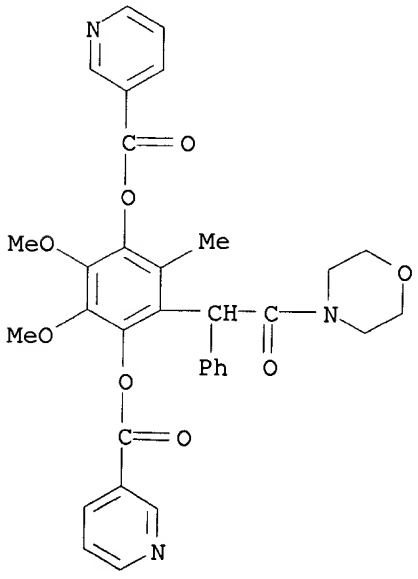
II

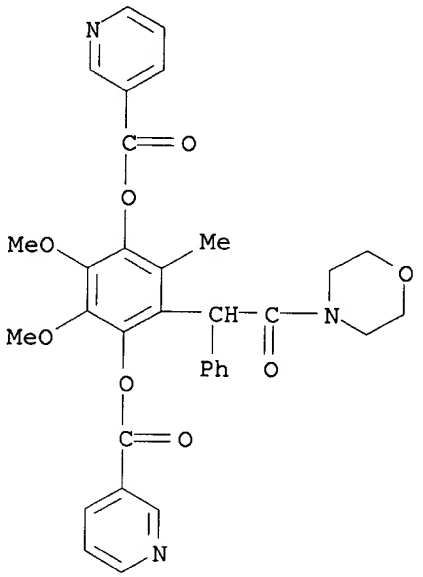
AB The title compds [I; R1 = (substituted) aryl, heterocyclyl; R2 = H, (substituted) alkylcarbonyl, arylcarbonyl; X = O, S] useful in treatment of cerebral insufficiency, were prepd. from benzoxepin intermediates II. 8,9-Dimethoxy-6-methyl-7-nicotinoyloxy-5-phenyl-2-oxo-2,3,4,5-tetrahydro-1-benzoxepin (prepn. given) and thiomorpholine were stirred in PhMe at 100.degree. for 1 h to give 84% I (R1 = 3-pyridyl, R2 = H, X = S). The latter at 50 mg/kg orally prolonged the lives of mice kept in air at 180 mmHg by 38%.

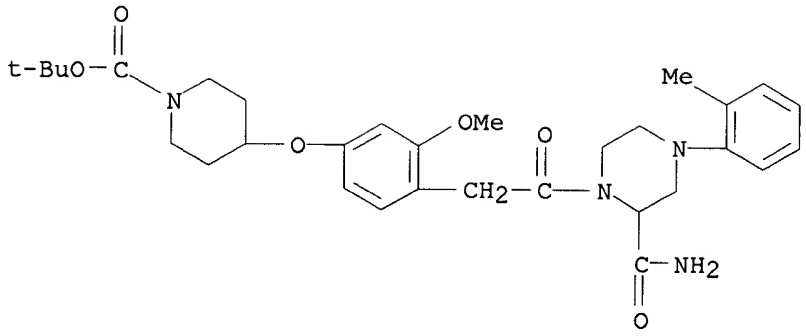
IT **121098-60-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as CNS agent)

RN 121098-60-0 CAPLUS

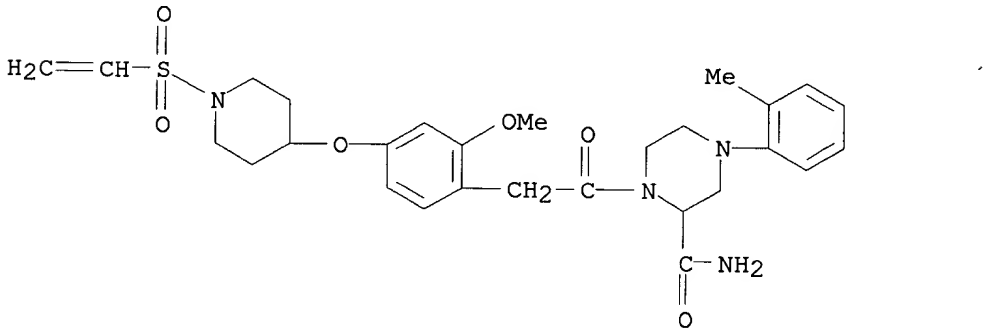
CN 3-Pyridinecarboxylic acid, 2,3-dimethoxy-5-methyl-6-[2-(4-morpholinyl)-2-oxo-1-phenylethyl]-1,4-phenylene ester (9CI) (CA INDEX NAME)




N
C
O
O
MeO
Me
MeO
CH
C
N
O
Ph
O
O
C
O
N



RN 170930-06-0 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[[1-(ethenylsulfonyl)-4-piperidinyl]oxy]-2-methoxyphenyl]acetyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:758624 CAPLUS
DOCUMENT NUMBER: 123:169654
TITLE: Preparation of heterocyclic compounds as platelet aggregation inhibitors
INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422835	A2	19941013	WO 1994-GB648	19940328
WO 9422835	A3	19941222		

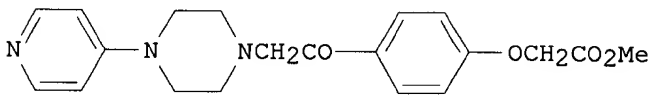
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2155307	AA	19941013	CA 1994-2155307	19940328
AU 9462890	A1	19941024	AU 1994-62890	19940328
AU 692439	B2	19980611		
EP 690847	A1	19960110	EP 1994-910495	19940328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08509967	T2	19961022	JP 1994-521811	19940328
JP 3088016	B2	20000918		
US 5750754	A	19980512	US 1996-658097	19960604

PRIORITY APPLN. INFO.:
GB 1993-6451 A 19930329
GB 1993-25610 A 19931215
GB 1993-6453 A 19930329
GB 1993-25605 A 19931215
WO 1994-GB648 W 19940328
GB 1995-18188 A 19950907

OTHER SOURCE(S): MARPAT 123:169654

GI



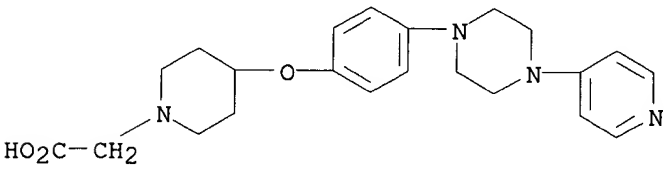
I

AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide deriv., sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepd. Me 4-(bromoacetyl)phenoxyacetate in MeCN was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

IT **166952-65-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as platelet aggregation inhibitors)

RN 166952-65-4 CAPLUS

CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]- (9CI) (CA INDEX NAME)

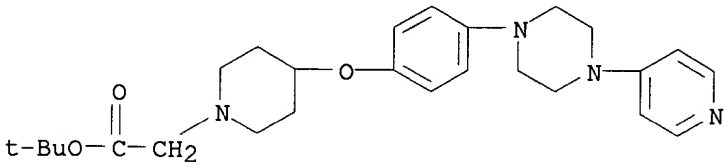


IT **166954-70-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclic compds. as platelet aggregation inhibitors)

RN 166954-70-7 CAPLUS

CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

09922619

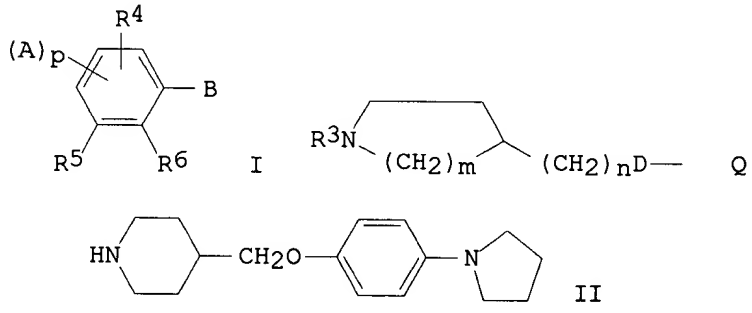


L4 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:128671 CAPLUS
DOCUMENT NUMBER: 116:128671
TITLE: Preparation of (cyclic) aminobenzene derivatives as CNS antioxidants
INVENTOR(S): Goto, Giichi; Yukimasa, Hidefumi; Miyamoto, Masaomi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 449195	A2	19911002	EP 1991-104745	19910326
EP 449195	A3	19920513		
EP 449195	B1	19960508		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 04211647	A2	19920803	JP 1991-50753	19910221
CA 2038962	AA	19910927	CA 1991-2038962	19910325
AT 137747	E	19960515	AT 1991-104745	19910326

PRIORITY APPLN. INFO.: JP 1990-77178 19900326
JP 1990-169089 19900627
JP 1991-50753 19910221

OTHER SOURCE(S): MARPAT 116:128671
GI

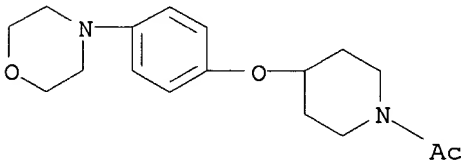


AB Title compds. I [A,B = NR1R2, Q; R1,R2 = H, (substituted) hydrocarbyl, heterocyclyl; or NR1R2 = cyclic amino group, one of R1 or R2 .noteq. H; D = O, S; R3 = H, (substituted) hydrocarbyl, (substituted) acyl; m = 1-3; n = 0-4; p = 1, 2 and both A may be the same or different when p = 2; R4-R6 = H, lower alkyl, lower alkoxy, or R5R6 = CH:CHCH:CH] were prepd. as CNS antioxidants useful as inhibitors of degeneration and necrocytosis of cerebral cells. Thus, 1-tert-butoxycarbonyl-4-piperidinecarboxylic acid

N-hydroxysuccinimide ester was reduced by NaBH4 to the hydroxymethylpiperidine deriv. This was arylated by p-fluoronitrobenzene, and the product was hydrogenated to give the corresponding amine. This was N-dialkylated by 1,4-dibromobutane and the product was deprotected by CF3CO2H to give title compd. II as the fumarate salt. II.fumarate had IC50 of 0.8 nM against glutamic acid-induced necrocytosis in N18-RE-105 cells. II.fumarate was formulated as a tablet.

IT **138226-45-6P 138226-50-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as CNS antioxidant)

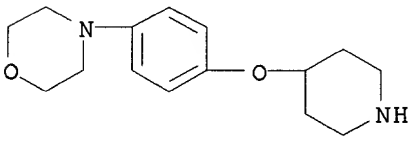
RN 138226-45-6 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(4-morpholinyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 138226-50-3 CAPLUS
CN Morpholine, 4-[4-(4-piperidinyloxy)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

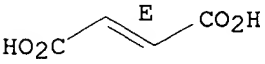
CRN 138226-49-0
CMF C15 H22 N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L4 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:20955 CAPLUS
DOCUMENT NUMBER: 116:20955
TITLE: Preparation of isoquinoline-5-sulfonamides and analogs as blood vessel relaxants
INVENTOR(S): Hidaka, Hiroyoshi; Ishikawa, Tomohiko; Hagiwara, Masatoshi; Inoue, Tsutomu; Naitoh, Kenji; Sakuma,

09922619

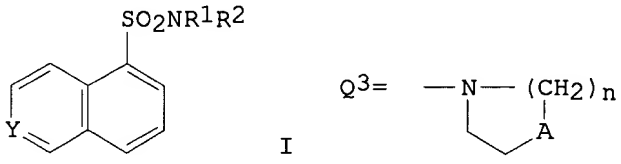
Osamu; Yuasa, Masayuki; Morita, Tadashi; Toshioka, Tadashi; et al.
PATENT ASSIGNEE(S): Tobishi Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 86 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3942114	A1	19900628	DE 1989-3942114	19891220
DE 3942114	C2	19970904		
CA 2005741	AA	19900626	CA 1989-2005741	19891215
CA 2005741	C	19980602		
JP 02256666	A2	19901017	JP 1989-325959	19891218
JP 2886225	B2	19990426		
SE 8904261	A	19900627	SE 1989-4261	19891219
SE 503081	C2	19960318		
US 5081246	A	19920114	US 1989-453623	19891220
DE 3943678	C2	19991125	DE 1989-3943678	19891220
GB 2228933	A1	19900912	GB 1989-28895	19891221
GB 2228933	B2	19930331		
CH 680441	A	19920831	CH 1989-4647	19891221
DK 8906662	A	19900627	DK 1989-6662	19891222
FR 2640973	A1	19900629	FR 1989-17091	19891222
FR 2640973	B1	19920327		
NL 8903143	A	19900716	NL 1989-3143	19891222
NL 193726	B	20000403		
NL 193726	C	20000804		
ES 2029759	A6	19920901	ES 1989-4335	19891222
AT 8902935	A	19940215	AT 1989-2935	19891222
CN 1044098	A	19900725	CN 1989-109843	19891226
CN 1025618	B	19940810		
JP 03007262	A2	19910114	JP 1990-11719	19900123
JP 3048590	B2	20000605		
JP 03047170	A2	19910228	JP 1990-52686	19900306
JP 3078295	B2	20000821		
US 5216150	A	19930601	US 1991-758808	19910912
GB 2248235	A1	19920401	GB 1991-22595	19911024
GB 2248235	B2	19930331		
US 5245034	A	19930914	US 1992-856178	19920323
CN 1074214	A	19930714	CN 1992-115101	19921230
CN 1028638	B	19950531		
NL 9900004	A	19990901	NL 1999-4	19990517
NL 194549	B	20020301		

PRIORITY APPLN. INFO.:

JP 1988-325910	A	19881226
JP 1989-76419	A	19890330
JP 1989-87868	A	19890410
DE 1989-3942114	A3	19891220
US 1989-453623	A3	19891220
GB 1989-28895	A3	19891221
NL 1989-3143	A3	19891222
CN 1989-109843	A	19891226
US 1991-758808	A3	19910912

OTHER SOURCE(S): MARPAT 116:20955
GI



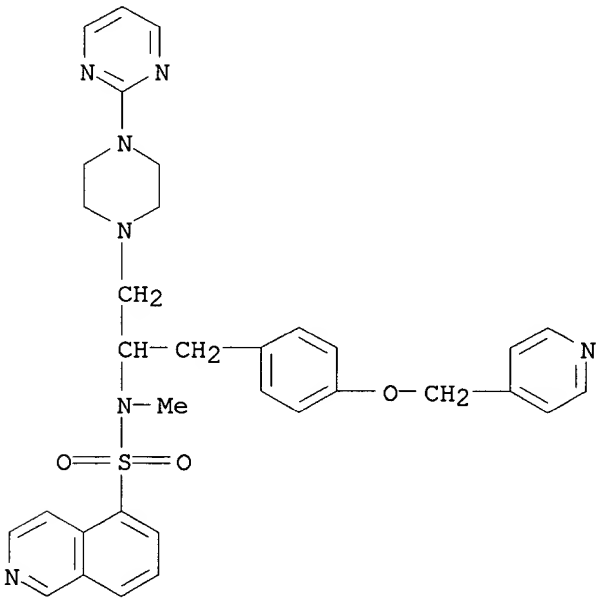
I

AB The title compds. [I; R1 = H, CHO, (halophenyl)propargyl, (un)substituted alkyl, aralkyl, Ph; R2 = WNR3CHR4XmQ1, CH(CR12R13R)CH2Q2, W = alkylene, (un)substituted phenylenediyl, or a combination of these; R3 = R1; R1R3 = alkylene; R4 = H, alkyl; X = CH:CH, C.tplbond.C; Q1, Q2 = (un)substituted Ph, naphthyl, heterocyclyl; R12, R13 = H; R12R13 = O; R = Q3; A = CO, (un)substituted CH2, NH, etc.; R1R3 = alkylene; Y = N, CH, CMe; m, n = 1-3] were prepd. Thus, I (R1 = H, Y = N) (II; R2 = CH2CH2NH2) was stirred 1 h with 4-ClC6H4CH:CHCHO in MeOH after which NaBH4 was added and stirring continued 30 min to give II (R2 = CH2CH2NR5CH2CH:CHC6H4Cl-4) (III; R5 = H) which was methylated to give III (R5 = Me). The latter had EC50 of 0.19 .mu.M for relaxation of rabbit aorta strips in vitro.

IT **130963-84-7P 130963-86-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as blood vessel relaxant)

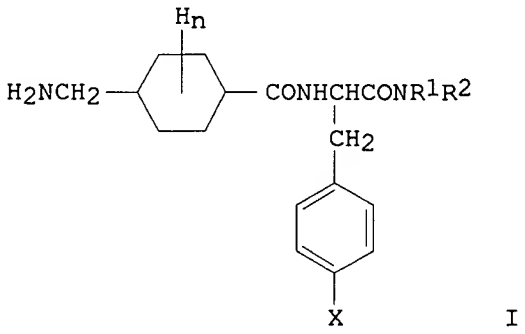
RN 130963-84-7 CAPLUS

CN 5-Isoquinolinesulfonamide, N-methyl-N-[1-[[4-(4-pyridinylmethoxy)phenyl]methyl]-2-[4-(2-pyrimidinyl)-1-piperazinyl]ethyl]-(9CI) (CA INDEX NAME)



RN 130963-86-9 CAPLUS

CN 5-Isoquinolinesulfonamide, N-methyl-N-[1-[[4-(4-pyridinylmethoxy)phenyl]methyl]-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]-(9CI) (CA INDEX NAME)



I

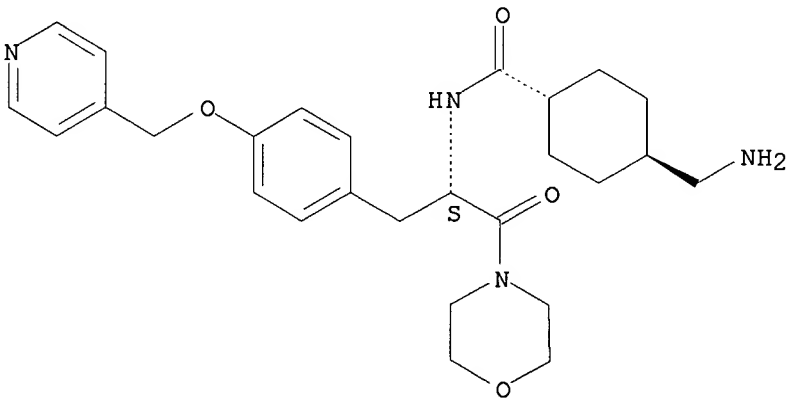
AB The title peptides [I; n = 4-10; R1, R2 = H, (un)substituted C1-C8 alkyl, (un)substituted C6-C8 cycloalkyl, (un)substituted Ph, (un)substituted pyridyl, pyrimidyl, N-benzylazacyclohexyl or NR1R2 = (thio)morpholino, (un)substituted piperidinyl, (un)substituted pyrrolidinyl; X = H, NO2, NH2, OR3; R3 = H, alkyl, alkenyl, (un)substituted CH2Ph, PhCOCH2, pyridylmethyl, (nitro)pyridyl, (nitro)pyrimidyl, (alkyl)PhSO2, (halo)PhCH2O2C] and pharmaceutically acceptable salts, useful as proteinase inhibitors and thereby useful as hemostatic, antiinflammatory and antiallergic agents, were prepd. Et3N, EtO2CCl and L-phenylalanine 4-acetylanilide-HCl were successively added to a soln. of trans-4-[N-(tert-butyloxycarbonyl)aminomethyl]cyclohexanecarboxylic acid and the mixt. was allowed to react at room temp. for 3 h to give, after acid hydrolysis, N-[trans-4-(aminomethyl)cyclohexylcarbonyl]-L-phenylalanine 4-acetylanilide. I in vitro inhibited plasmin, thrombin, trypsin, plasma and urokinase.

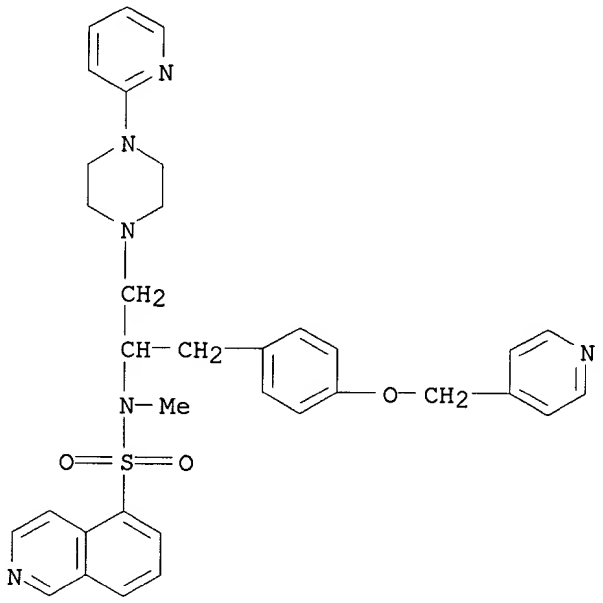
IT **109360-00-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as proteinase inhibitor and hemostatic, antiallergic, and antiinflammatory agent)

RN 109360-00-1 CAPLUS

CN Cyclohexanecarboxamide, 4-(aminomethyl)-N-[2-(4-morpholinyl)-2-oxo-1-[[4-(4-pyridinylmethoxy)phenyl]methyl]ethyl]-, [1(S)-trans]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L4 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:478251 CAPLUS
DOCUMENT NUMBER: 107:78251
TITLE: Preparation of phenylalanine derivatives as proteinase inhibitors
INVENTOR(S): Okamoto, Shosuke; Okada, Yoshio; Okunomiya, Akiko; Naito, Taketoshi; Kimura, Yoshio; Yamada, Morihiko; Ohno, Nori; Katsuura, Yasuhiro; Seki, Yumi
PATENT ASSIGNEE(S): Showa Denko K. K., Japan
SOURCE: Eur. Pat. Appl., 169 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 217286	A1	19870408	EP 1986-113166	19860924
EP 217286	B1	19900523		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8663051	A1	19870402	AU 1986-63051	19860923
AU 598750	B2	19900705		
CA 1297633	A1	19920317	CA 1986-518905	19860923
JP 63022061	A2	19880129	JP 1986-224995	19860925
JP 07053705	B4	19950607		
US 4895842	A	19900123	US 1986-912480	19860929
AU 587691	B2	19890824	AU 1987-70773	19870330
AU 8770773	A1	19880929		

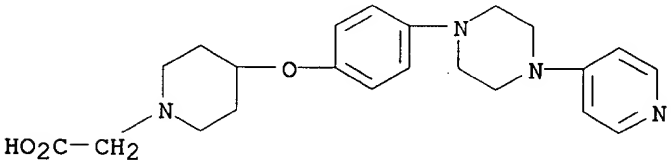
PRIORITY APPLN. INFO.: JP 1985-212240 19850927
JP 1986-45348 19860304

GI

RN 207916-45-8 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

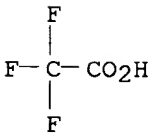
CM 1

CRN 166952-65-4
CMF C22 H28 N4 O3

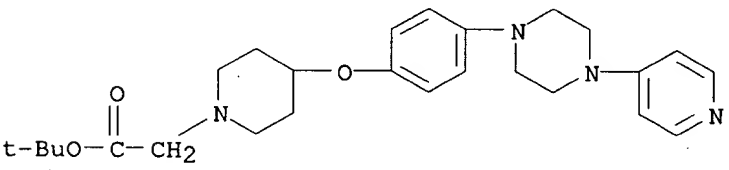


CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **166954-70-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)
RN 166954-70-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



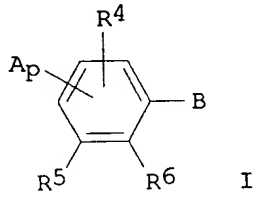
L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:754425 CAPLUS
DOCUMENT NUMBER: 126:89266
TITLE: Preparation and formulation of aminophenoxypiperidines and analogs as nerve cell protectants
INVENTOR(S): Goto, Giichi; Yukimasa, Hidefumi; Miyamoto, Masaomi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: U.S., 28 pp. Cont. of U.S. Ser. No. 847,440,

09922619

abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5580883	A	19961203	US 1994-266614	19940628
JP 04211647	A2	19920803	JP 1991-50753	19910221
PRIORITY APPLN. INFO.:			JP 1990-77178	19900326
			JP 1990-169098	19900627
			JP 1991-50753	19910221
			US 1991-674158	19910325
			US 1992-847440	19920310
			JP 1990-169089	19900627

OTHER SOURCE(S): MARPAT 126:89266
GI



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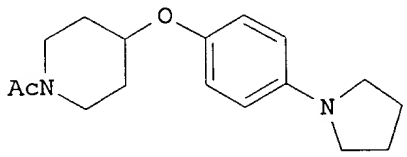
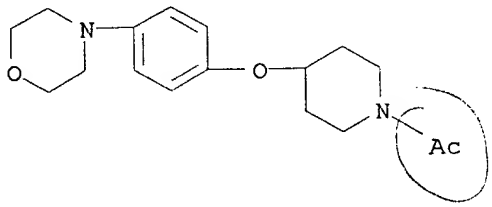


II

AB Title compds. [I; A,B = NR1R2, Z(CH2)nR7; R1,R2 = H, (un)substituted hydrocarbyl, -heterocyclyl; NR1R2 = heterocyclyl; R4-R6 = H, alkyl, alkoxy; R5R6 = CH:CHCH:CH; R7 = heterocyclyl group Q; R3 = H, acyl, (un)substituted hydrocarbyl; Z = O or S; m = 1-3; n = 0-4; p = 1 or 2] were prepd. Thus, 1-acetyl-4-hydroxypiperidine was etherified by 4-FC6H4NO2and the reduced product N,N-bisalkylated with Br(CH2)4Br to give title compd. II. Data for in vitro activity against glutamic acid-induced necrocytosis by I were given.

IT **138226-45-6P 138226-50-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of aminophenoxypiperidines and analogs as nerve cell protectants)

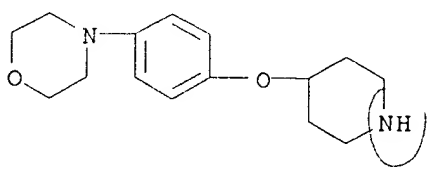
RN 138226-45-6 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(4-morpholinyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 138226-50-3 CAPLUS
CN Morpholine, 4-[4-(4-piperidinyloxy)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

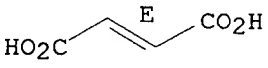
CRN 138226-49-0
CMF C15 H22 N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



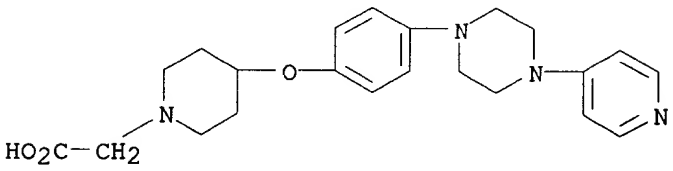
L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:623177 CAPLUS
DOCUMENT NUMBER: 125:275910
TITLE: Preparation of benzylpiperidines and -piperazines as muscarinic antagonists
INVENTOR(S): Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger, Joel G.; Mcquade, Robert; Barnett, Allen; Scherlock, Margaret; Tom, Wing; Dugar, Sundeep; et al.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626196	A2	19960829	WO 1996-US1532	19960216
WO 9626196	A3	19961003		
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2212895	AA	19960829	CA 1996-2212895	19960216
AU 9649717	A1	19960911	AU 1996-49717	19960216
AU 701452	B2	19990128		
EP 811002	A2	19971210	EP 1996-906286	19960216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				

RN 207916-45-8 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

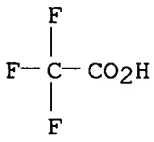
CM 1

CRN 166952-65-4
CMF C22 H28 N4 O3

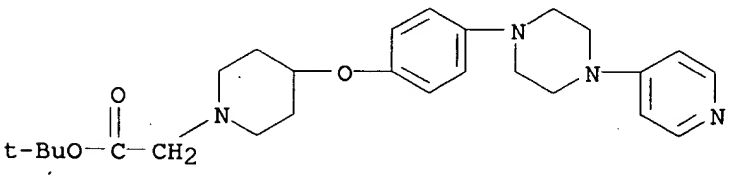


CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **166954-70-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)
RN 166954-70-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:754425 CAPLUS
DOCUMENT NUMBER: 126:89266
TITLE: Preparation and formulation of aminophenoxypiperidines and analogs as nerve cell protectants
INVENTOR(S): Goto, Giichi; Yukimasa, Hidefumi; Miyamoto, Masaomi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: U.S., 28 pp. Cont. of U.S. Ser. No. 847,440,

abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5580883	A	19961203	US 1994-266614	19940628
JP 04211647	A2	19920803	JP 1991-50753	19910221

PRIORITY APPLN. INFO.:

JP 1990-77178	19900326
JP 1990-169098	19900627
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US 1991-674158	19910325
US 1992-847440	19920310
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OTHER SOURCE(S): MARPAT 126:89266
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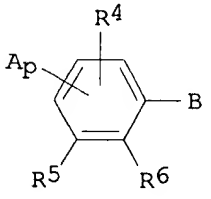

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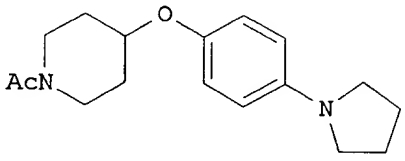
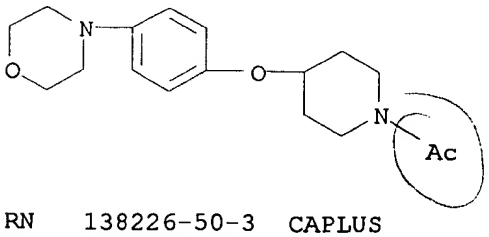


II

AB Title compds. [I; A,B = NR1R2, Z(CH2)nR7; R1,R2 = H, (un)substituted hydrocarbyl, -heterocyclyl; NR1R2 = heterocyclyl; R4-R6 = H, alkyl, alkoxy; R5R6 = CH:CHCH:CH; R7 = heterocyclyl group Q; R3 = H, acyl, (un)substituted hydrocarbyl; Z = O or S; m = 1-3; n = 0-4; p = 1 or 2] were prepd. Thus, 1-acetyl-4-hydroxypiperidine was etherified by 4-FC6H4NO2and the reduced product N,N-bisalkylated with Br(CH2)4Br to give title compd. II. Data for in vitro activity against glutamic acid-induced necrocytosis by I were given.

IT **138226-45-6P 138226-50-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of aminophenoxypiperidines and analogs as nerve cell protectants)

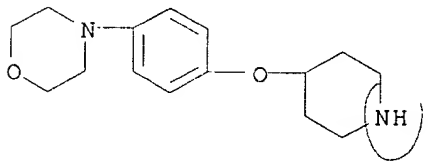
RN 138226-45-6 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(4-morpholinyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 138226-50-3 CAPLUS
CN Morpholine, 4-[4-(4-piperidinyloxy)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

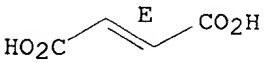
CRN 138226-49-0
CMF C15 H22 N2 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L4 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:623177 CAPLUS
DOCUMENT NUMBER: 125:275910
TITLE: Preparation of benzylpiperidines and -piperazines as muscarinic antagonists
INVENTOR(S): Lowe, Derek; Chang, Wei; Kozlowski, Joseph; Berger, Joel G.; Mcquade, Robert; Barnett, Allen; Scherlock, Margaret; Tom, Wing; Dugar, Sundeep; et al.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626196	A2	19960829	WO 1996-US1532	19960216
WO 9626196	A3	19961003		
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2212895	AA	19960829	CA 1996-2212895	19960216
AU 9649717	A1	19960911	AU 1996-49717	19960216
AU 701452	B2	19990128		
EP 811002	A2	19971210	EP 1996-906286	19960216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				

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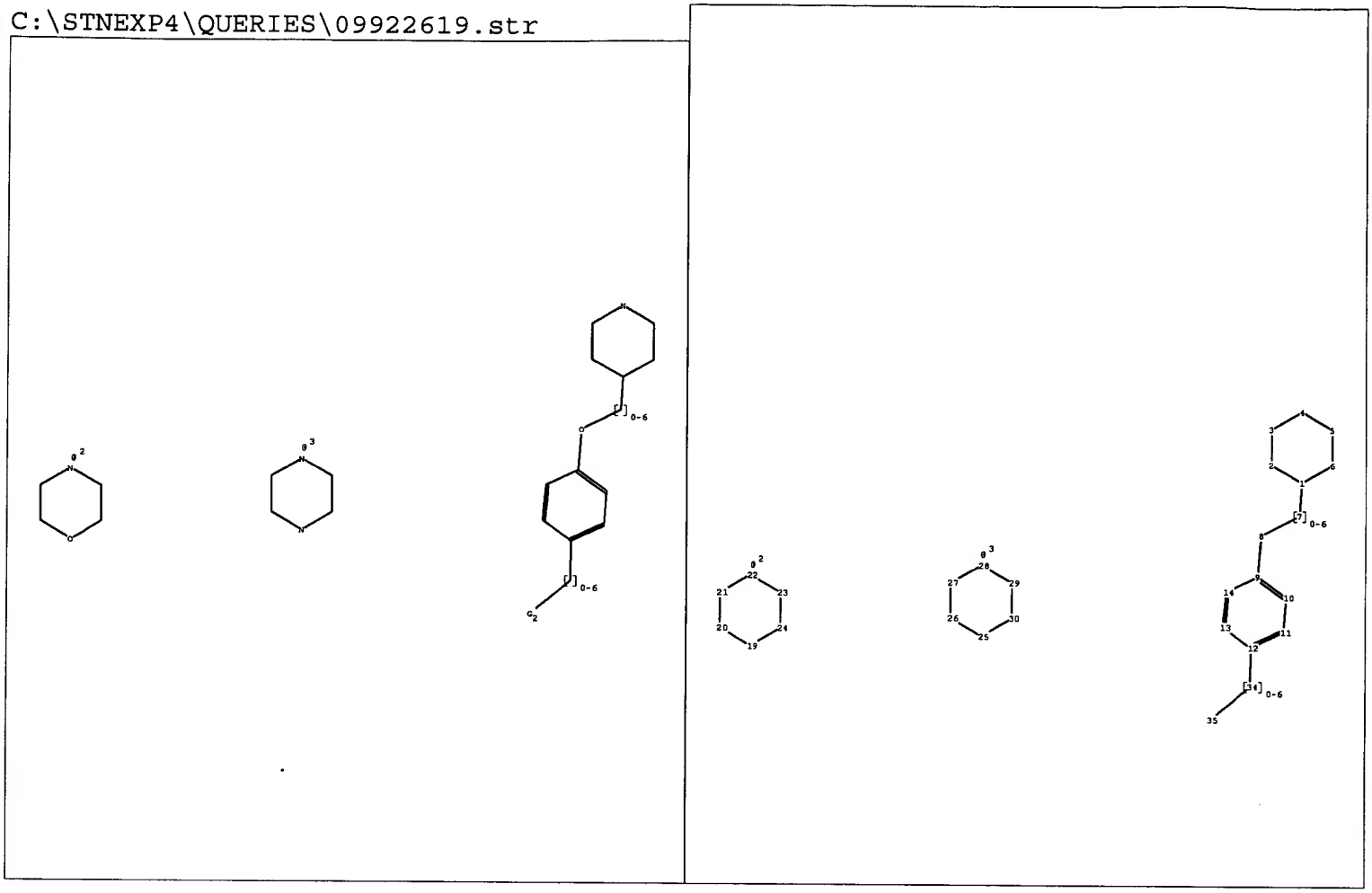

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ring nodes :
1 2 3 4 5 6 9 10 11 12 13 14 19 20 21 22 23 24 25 26
27 28 29 30
chain bonds :
1-7 7-8 8-9 12-34 34-35
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14
19-20 19-24 20-21 21-22 22-23 23-24 25-26 25-30 26-27 27-28
28-29 29-30
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 8-9 19-20 19-24 20-21 21-22 22-23
23-24 25-26 25-30 26-27 27-28 28-29 29-30 34-35
exact bonds :
1-7 12-34
normalized bonds :
9-10 9-14 10-11 11-12 12-13 13-14
isolated ring systems :
containing 1 : 9 :

G1

G2:[*2],[*3]

Match level :

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09922619

Connecting via Winsock to STN

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3	Jan 25	Searching with the P indicator for Preparations
NEWS 4	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS 5	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 6	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 7	Mar 08	Gene Names now available in BIOSIS
NEWS 8	Mar 22	TOXLIT no longer available
NEWS 9	Mar 22	TRCTHERMO no longer available
NEWS 10	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 11	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS 12	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 13	Apr 08	"Ask CAS" for self-help around the clock
NEWS 14	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 15	Apr 09	ZDB will be removed from STN

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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*LBEILSTEIN - BEILSTEIN Learning File

* The files listed above are temporarily unavailable.

09922619

FILE 'HOME' ENTERED AT 20:12:35 ON 12 APR 2002

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 20:12:41 ON 12 APR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 10 APR 2002 HIGHEST RN 405136-91-6
DICTIONARY FILE UPDATES: 10 APR 2002 HIGHEST RN 405136-91-6

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the H/Z/CA/CAplus files between 12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches during this period, either directly appended to a CAS Registry Number or by qualifying an L-number with /P, may have yielded incomplete results. As of 1/23/02, the situation has been resolved. Also, note that searches conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator between 12/27/01 and 1/23/02, are encouraged to re-run these strategies. Contact the CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698, worldwide, or send an e-mail to help@cas.org for further assistance or to receive a credit for any duplicate searches.

=>
Uploading 09922619.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 20:13:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 406 TO ITERATE

09922619

100.0% PROCESSED 406 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6912 TO 9328
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 20:13:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 8283 TO ITERATE

100.0% PROCESSED 8283 ITERATIONS 78 ANSWERS
SEARCH TIME: 00.00.04

L3 78 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 140.28 140.49

FILE 'CAPLUS' ENTERED AT 20:13:18 ON 12 APR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 12 Apr 2002 VOL 136 ISS 15
FILE LAST UPDATED: 10 Apr 2002 (20020410/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.

=> s l3 full

09922619

L4 14 L3

=> d l4 1-14 ibib abs hitstr

L4 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:122957 CAPLUS
DOCUMENT NUMBER: 136:167285
TITLE: Preparation of aryloxypiperidines as histamine H3 receptor antagonists
INVENTOR(S): Apodaca, Richard; Carruthers, Nicholas I.; Dvorak, Curt A.; Shah, Chandravadan R.; Xiao, Wei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012190	A2	20020214	WO 2001-US24660	20010806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002040024	A1	20020404	US 2001-922619	20010806

PRIORITY APPLN. INFO.: US 2000-223768P P 20000808
US 2001-922619 A 20010806
OTHER SOURCE(S): MARPAT 136:167285
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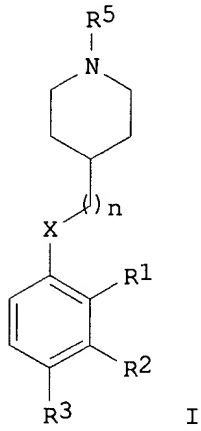


I

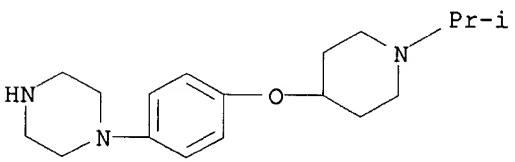
AB Title compds. I [X = O; n = 0-3; R5 = alk(en)yl, cycloalkylalkyl, phenylalk(en)yl, alkylcarbonylalkyl; R1-3 = G, W, wherein one of the remaining two is selected from H and halo and the third being H; G = alk(en/yn)yl-N-contg. heterocycle, etc.; W = CN, CHO, halo, heterocyclyl,

phenoxy, Ph, etc.] were prepd. For example, a suspension of 1-isopropylpiperidin-4-ol (prepn. given), 4-fluorobenzaldehyde and Cs2CO3 were heated to 100.degree. in DMF for 22 h resulting in the formation of 4-[(1-isopropylpiperidin-4-yl)oxy]benzaldehyde (II). II had Ki = 36 nM for the histamine H3 receptor. I are useful in the treatment of histamine-mediated conditions.

IT **397275-61-5P**, 1-[4-((1-Isopropylpiperidin-4-yl)oxy)phenyl]piperazine **397277-27-9P**, 4-[4-((1-Benzylpiperidin-4-yl)oxy)benzyl]morpholine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; prepn. of aryloxypiperidines as histamine H3 receptor antagonists)

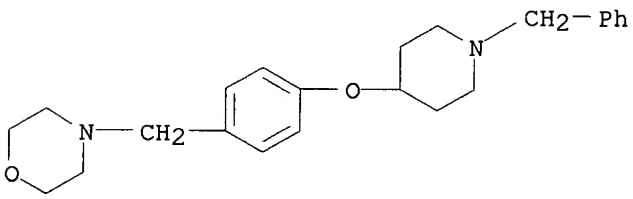
RN 397275-61-5 CAPLUS

CN Piperazine, 1-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 397277-27-9 CAPLUS

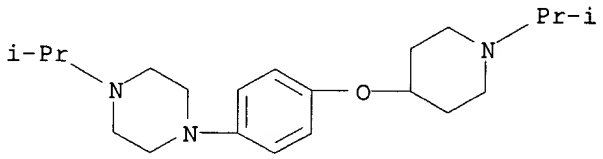
CN Morpholine, 4-[[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



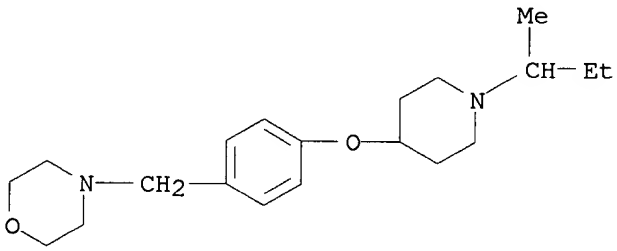
IT **397275-57-9P**, 1-Isopropyl-4-[4-((1-isopropylpiperidin-4-yl)oxy)phenyl]piperazine **397275-69-3P**, 4-[4-((1-sec-Butylpiperidin-4-yl)oxy)benzyl]morpholine **397276-13-0P**, 1-[4-((1-Isopropylpiperidin-4-yl)oxy)benzyl]-4-methylpiperazine **397276-24-3P**, 4-[4-((1-Cyclopentylpiperidin-4-yl)oxy)benzyl]morpholine **397276-37-8P**, 1-[4-((1-Isopropylpiperidin-4-yl)oxy)benzyl]-4-phenylpiperazine **397276-45-8P**, 1-Benzyl-4-[4-((1-isopropylpiperidin-4-yl)oxy)benzyl]piperazine **397276-53-8P**, 4-[4-((1-Isopropylpiperidin-4-yl)oxy)benzyl]morpholine **397276-59-4P**, 4-[4-((1-Cyclohexylpiperidin-4-yl)oxy)benzyl]morpholine **397276-63-0P**, 4-[4-((1-Isobutylpiperidin-4-yl)oxy)benzyl]morpholine **397276-67-4P**, 4-[4-((1-Propylpiperidin-4-yl)oxy)benzyl]morpholine **397277-07-5P**, 4-[4-(1-(tert-Butoxycarbonyl)piperidin-4-yloxy)phenyl]piperazine-1-carboxylic acid tert-butyl ester **397277-16-6P**, 4-(4-((Morpholin-4-yl)methyl)phenoxy)piperidine-1-carboxylic acid tert-butyl ester **397277-19-9P**, 4-[4-(Piperidin-4-yloxy)benzyl]morpholine **397277-31-5P**, 4-[4-(4-((Morpholin-4-yl)methyl)phenoxy)piperidin-1-

yl]butan-2-one **397277-34-8P**, 4-[4-((1-(Cyclohexylmethyl)piperidin-4-yl)oxy)benzyl]morpholine **397277-37-1P**, 4-[4-[1-(1-Methylheptyl)piperidin-4-yloxy]benzyl]morpholine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. of aryloxypiperidines as histamine H3 receptor antagonists)

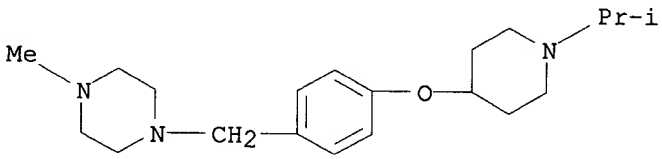
RN 397275-57-9 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



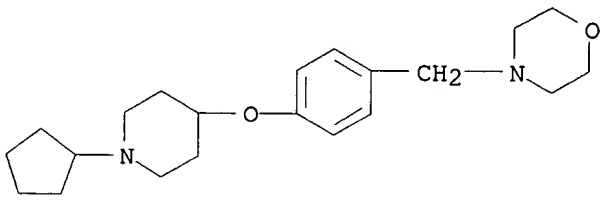
RN 397275-69-3 CAPLUS
CN Morpholine, 4-[[4-[[1-(1-methylpropyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



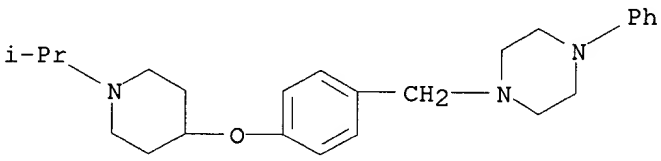
RN 397276-13-0 CAPLUS
CN Piperazine, 1-methyl-4-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



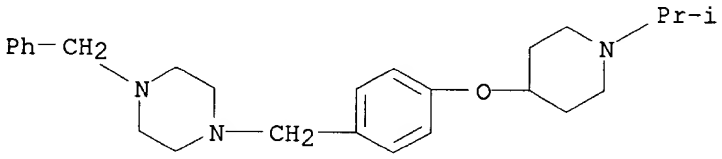
RN 397276-24-3 CAPLUS
CN Morpholine, 4-[[4-[(1-cyclopentyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



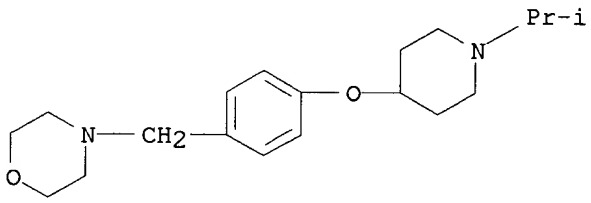
RN 397276-37-8 CAPLUS
CN Piperazine, 1-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]-4-phenyl- (9CI) (CA INDEX NAME)



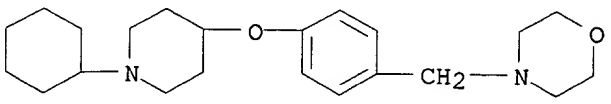
RN 397276-45-8 CAPLUS
CN Piperazine, 1-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 397276-53-8 CAPLUS
CN Morpholine, 4-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

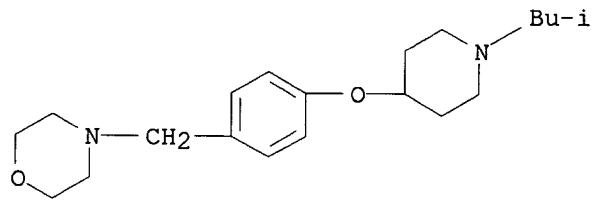


RN 397276-59-4 CAPLUS
CN Morpholine, 4-[[4-[(1-cyclohexyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

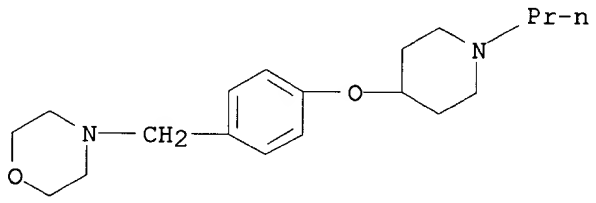


RN 397276-63-0 CAPLUS

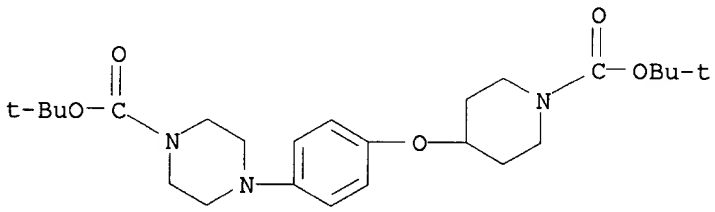
CN Morpholine, 4-[[4-[[1-(2-methylpropyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



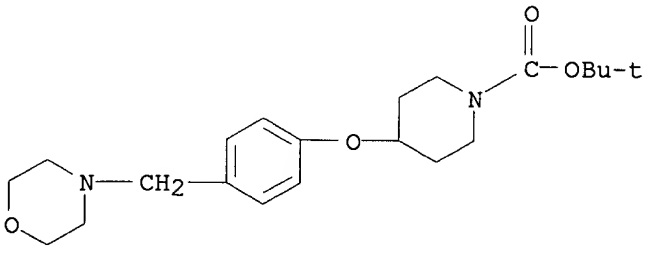
RN 397276-67-4 CAPLUS
CN Morpholine, 4-[[4-[(1-propyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



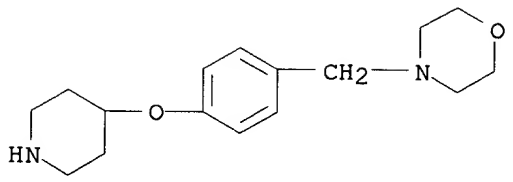
RN 397277-07-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]oxy]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



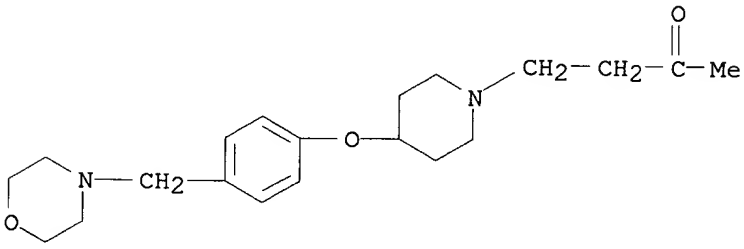
RN 397277-16-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-(4-morpholinylmethyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



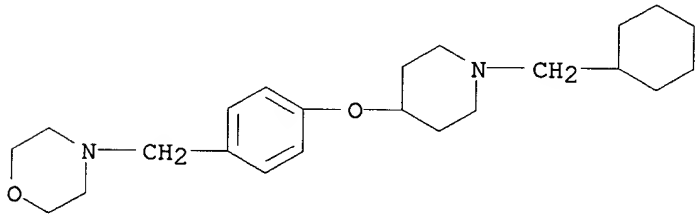
RN 397277-19-9 CAPLUS
CN Morpholine, 4-[[4-(4-piperidinyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



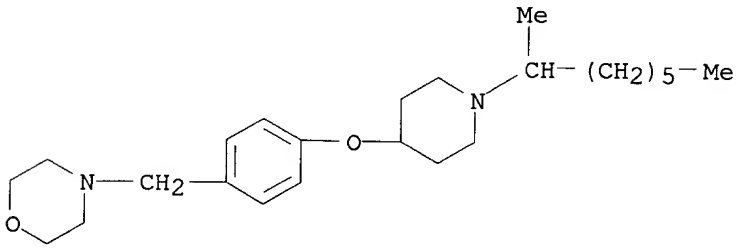
RN 397277-31-5 CAPLUS
CN 2-Butanone, 4-[4-[4-(4-morpholinylmethyl)phenoxy]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 397277-34-8 CAPLUS
CN Morpholine, 4-[[4-[[1-(cyclohexylmethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 397277-37-1 CAPLUS
CN Morpholine, 4-[[4-[[1-(1-methylheptyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:115118 CAPLUS

09922619

DOCUMENT NUMBER: 134:163065
TITLE: Preparation of hydroxamic acid and N-formyl hydroxylamine derivatives as antibacterial agents
INVENTOR(S): Pratt, Lisa Marie; Keavey, Kenneth Noel; Pain, Gilles Denis; Mounier, Laurent Franck
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010834	A2	20010215	WO 2000-GB3078	20000810
WO 2001010834	A3	20010628		

W: AE, AU, BR, BY, CA, CN, CZ, DZ, EE, GB, GE, HU, ID, IL, IN, IS, JP, KE, KR, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, US, VN, ZA, ZW
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

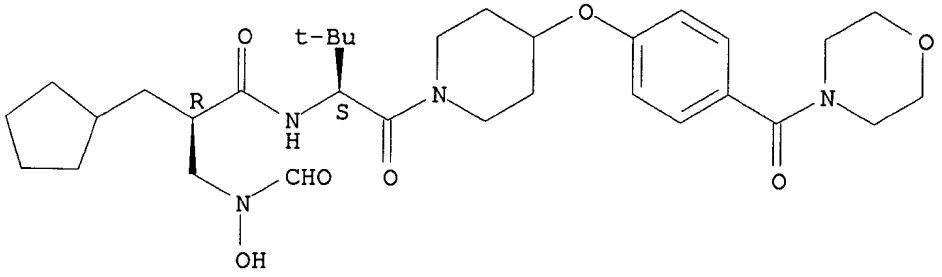
PRIORITY APPLN. INFO.: GB 1999-18869 A 19990810
GB 1999-27093 A 19991116
OTHER SOURCE(S): MARPAT 134:163065

AB Selected compds. QCH(R1)CH(R2)C(O)A (I) and pharmaceutical and veterinary compns. comprising such compds. are antibacterial agents with respect to a range of Gram-pos. and Gram-neg. organisms. In I, Q = -N(OH)C(O)H or -C(O)NH(OH); R1 = H, C1-C6 alkyl or C1-C6 alkyl substituted by .gtoreq. halogen atoms, or, except when Q is -N(OH)C(O)H, hydroxy, C1-C6 alkoxy, C1-C6 alkenyloxy, amino, C1-C6 alkylamino, or di-(C1-C6 alkyl)amino; R2 = substituted or unsubstituted C1-C6 alkyl, cycloalkyl(C1-C6 alkyl)- or aryl(C1-C6 alkyl)-; and A = -NHCHR4C(O)NR5R6 or -NR5R6, wherein R4 = side chain of a natural or non-natural .alpha.-amino acid, and R5 and R6 when taken together with the N atom to which they are attached form a satd. heterocyclic 1st ring of 5 to 7 atoms (piperidine and piperazine in the examples). In general, the compds. of the examples are more active against the Gram pos. S. capitis than the Gram neg. E. coli. Test results are also reported for 2R-cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-{4-[4-(4-hydroxypiperidine-1-carbonyl)phenoxy]piperidine-1-carbonyl}-2,2-dimethylpropyl)propionamide against certain respiratory tract pathogens. Although the methods of prepn. are not claimed, .apprx.95 example prepns. are included.

IT **325796-58-5P**, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-{4-[4-(morpholine-4-carbonyl)phenoxy]piperidine-1-carbonyl}propyl)-3-(formylhydroxyamino)propionamide **325796-59-6P**, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-{4-[4-(4-methylpiperazine-1-carbonyl)phenoxy]piperidine-1-carbonyl}propyl)-3-(formylhydroxyamino)propionamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxamic acid and N-formyl hydroxylamine derivs. as antibacterial agents)

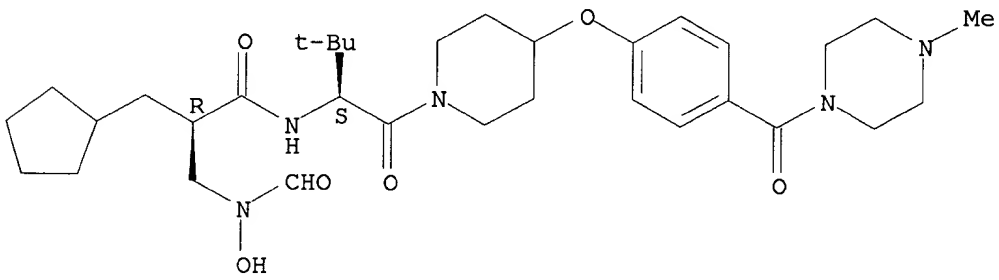
RN 325796-58-5 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[4-[4-(4-morpholinylcarbonyl)phenoxy]-1-piperidinyl]carbonyl]propyl]-.alpha.-[(formylhydroxyamino)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 325796-59-6 CAPLUS
CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[4-[4-[(4-methyl-1-piperazinyl)carbonyl]phenoxy]-1-piperidinyl]carbonyl]propyl]-.alpha.-[(formylhydroxyamino)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

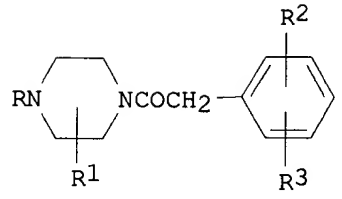
Absolute stereochemistry.



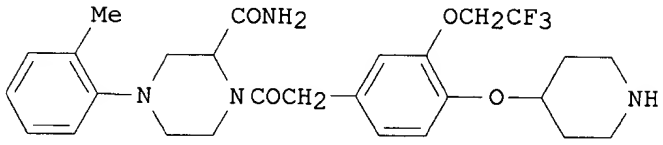
L4 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:213192 CAPLUS
DOCUMENT NUMBER: 130:209723
TITLE: Aryl(phenylacetyl)piperazine derivatives as oxytocin receptor antagonists
INVENTOR(S): Bell, Ian M.; Freidinger, Roger M.; Guare, James P.; Sparks, Michelle A.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Brit. UK Pat. Appl., 93 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2326639	A1	19981230	GB 1998-12363	19980609
US 5968938	A	19991019	US 1998-86107	19980529

PRIORITY APPLN. INFO.: US 1997-50132P P 19970618
GB 1998-10887 A 19980520
OTHER SOURCE(S): MARPAT 130:209723
GI



I



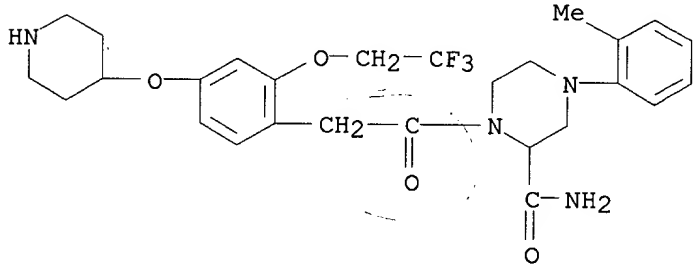
II

AB Piperazines I [R = (un)substituted Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl; R1 = H, (un)substituted CONH2; R2 = CF3, OCF3, OCH2CF3; R3 = H, halogen, (un)substituted OH, NH2, pyridinyl, imidazolyl, triazolyl, morpholinyl; n = 1, 2] were prepd. for use as oxytocin antagonists (no data). Thus, 2,4-dihydroxyacetophenone was treated with N-tert.-butoxycarbonyl-4-piperidinol, trifluoroethoxylated and oxidized with Tl(NO3)3 to give Me N-tert.-butoxycarbonyl-4-piperidinyloxy-2-(2,2,2-trifluoroethoxy)phenylacetate which was hydrolyzed to the acid, treated with 2-carbamoyl-4-(2-methylphenyl)piperazine, and deblocked to give the title compd. II.

IT **220996-01-0P 220996-40-7P 220996-89-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aryl(phenylacetyl)piperazines as oxytocin receptor antagonists)

RN 220996-01-0 CAPLUS

CN 2-Piperazinecarboxamide, 4-(2-methylphenyl)-1-[[4-(4-piperidinyloxy)-2-(2,2,2-trifluoroethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)



RN 220996-40-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[2-[2-(aminocarbonyl)-4-(2-methylphenyl)-1-piperazinyl]-2-oxoethyl]-3-(2,2,2-trifluoroethoxy)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)